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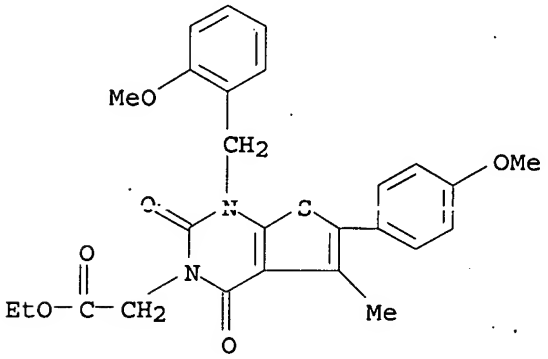
ACCESSION NUMBER: 1998:758027 CAPLUS
DOCUMENT NUMBER: 130:95524
TITLE: Thieno[2,3-d]pyrimidine-3-acetic acids. A new class of nonpeptide endothelin receptor antagonists
AUTHOR(S): Cho, Nobuo; Nara, Yoshi; Harada, Mioko; Sugo, Tsukasa; Masuda, Yasushi; Abe, Akemi; Kusumoto, Keiji; Itoh, Yasuaki; Ohtaki, Tetsuya; Watanabe, Toshifumi; Furuya, Shuichi
CORPORATE SOURCE: Discovery Research Division, Takeda Chemical Industries, Ltd., Tsukuba, 300-4293, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1998), 46(11), 1724-1737
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

AB On the basis of structural information for the cyclic hexapeptide endothelin (ET) receptor antagonist, TAK-044, a series of thieno[2,3-d]pyrimidine-2,4-dione derivs. bearing a carboxyl group and arom. rings that were important for receptor binding were designed, synthesized, and evaluated for ET receptor binding affinities and inhibitory activities against ET-induced vasoconstriction. Optimization of each substituent in the thieno[2,3-d]pyrimidine ring led to the discovery of a novel and potent nonpeptide ET receptor antagonist, 6-(4-methoxymethoxyphenyl)-5-methylsulfonylaminomethyl-1-(2-methylthiobenzyl)-2,4-dioxo-1,2,3,4-tetrahydrothieno[2,3-d]pyrimidine-3-acetic acid (I), which bound to human ETA and ETB receptor subtypes with affinities (IC50) of 7.6 and 100 nM, resp. I effectively antagonized ET-induced vasoconstriction and the inhibitory effect mediated by the ETB receptor was more potent than that of bosentan, while the inhibitory effect mediated by the ETA receptor was slightly less potent than that of bosentan.

IT **165807-66-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent)
(prepn. and endothelin receptor antagonist activity of thienopyrimidineacetic acids)

RN 165807-66-9 CAPLUS
CN Thieno[2,3-d]pyrimidine-3(2H)-acetic acid, 1,4-dihydro-6-(4-methoxyphenyl)-1-[(2-methoxyphenyl)methyl]-5-methyl-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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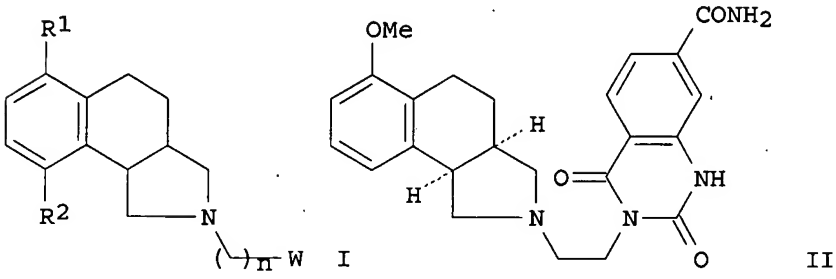
L8 ANSWER 42 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:542760 CAPLUS
DOCUMENT NUMBER: 129:161567
TITLE: Preparation of bicyclic-substituted hexahydrobenz[e]isoindoles as .alpha.1 adrenergic antagonists
INVENTOR(S): Meyer, Michael D.; Altenbach, Robert J.; Basha, Fatima Z.; Carroll, William A.; Drizin, Irene; Kerwin, James F., Jr.; Lebold, Suzanne A.; Lee, Edmund L.; Pratt, John K.; Sippy, Kevin B.; Tietje, Karin R.; Yamamoto, Diane M.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 42 pp., Cont.-in-part of U. S. 5,521,181.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5792767	A	19980811	US 1995-465476	19950605
US 5521181	A	19960528	US 1995-379823	19950127
CA 2210966	AA	19960801	CA 1996-2210966	19960111
WO 9622991	A1	19960801	WO 1996-US178	19960111
W: AU, CA, JP, KR, MX				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9647473	A1	19960814	AU 1996-47473	19960111
AU 694611	B2	19980723		
EP 805812	A1	19971112	EP 1996-903364	19960111
EP 805812	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 11501616	T2	19990209	JP 1996-522872	19960111
ES 2159721	T3	20011016	ES 1996-903364	19960111

PRIORITY APPLN. INFO.: US 1995-379823 A2 19950127
US 1995-465476 A 19950605
WO 1996-US178 W 19960111
OTHER SOURCE(S): MARPAT 129:161567
GI



AB The invention relates to compds. I [R1, R2 = H, alkyl, alkoxy, OH, halo, CO2H, and alkoxycarbonyl; n = 2-6; W = certain 5,6-carbo- or 5,6-heterocycle-fused 2,4(1H,3H)-pyrimidinedione or 4(3H)-pyrimidinone groups, bound at the pyrimidine 3-position] and their pharmaceutically acceptable salts. The compds. are .alpha.1-adrenergic antagonists, and are useful in the treatment of benign prostatic hyperplasia (BPH). Also disclosed are .alpha.1-antagonist compns., and a method for antagonizing

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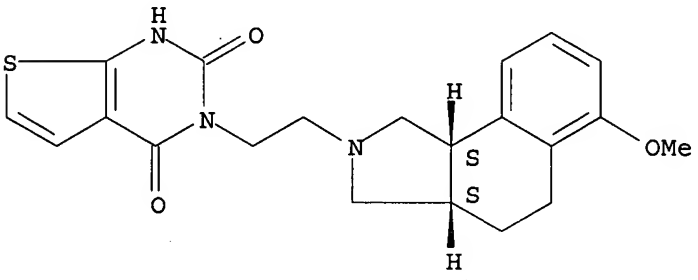
.alpha.1 receptors and treating BPH, optionally including use of a 5.alpha.-reductase inhibitor such as finasteride. For instance, Me 2-amino-4-carbamylbenzoate was treated with triphosgene to give an isocyanate, which was cyclized with (3aR,9bR)-2-(2-aminoethyl)-6-methoxy-2,3,3a,4,5,9b-hexahydro-1H-benz[e]isoindole to give title compd. II, isolated as the HCl salt. The latter bound strongly (0.058 nM) to bovine .alpha.1a adrenoceptors in vitro.

IT **179114-35-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of bicyclic substituted hexahydrobenz[e]isoindoles as .alpha.1-adrenergic antagonists)

RN 179114-35-3 CAPLUS

CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-[2-[(3aR,9bR)-1,3,3a,4,5,9b-hexahydro-6-methoxy-2H-benz[e]isoindol-2-yl]ethyl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 43 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:294265 CAPLUS
DOCUMENT NUMBER: 129:27847
TITLE: Synthesis of 6-aminouracils and pyrrolo[2,3-d]pyrimidine-2,4-diones and their inhibitory effect on thymidine phosphorylase
AUTHOR(S): Hirota, Kosaku; Sawada, Masayuki; Sajiki, Hironao; Sako, Magoichi
CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Gifu Pharmaceutical University, Gifu, 502, Japan
SOURCE: Nucleic Acids Symposium Series (1997), 37(Symposium on Nucleic Acids Chemistry, 1997), 59-60
CODEN: NACSD8; ISSN: 0261-3166
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

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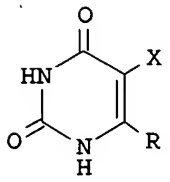

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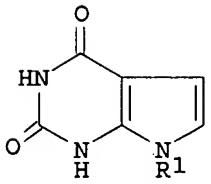


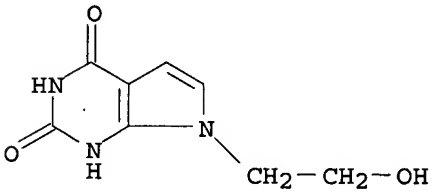
II

AB A symposium report on inhibitors of thymidine phosphorylase which are expected to suppress the growth and metastasis of tumor cells by inhibition of angiogenesis and were designed by utilizing the three dimensional structure of the enzyme. 5-Substituted 6-aminouracils (I; R = NH2, X = Et, SPh, NO2, Br; R = NHCH2CH2NHMe, X = Br; R = CH2CH2CH2NHMe, X = CN) and 7-substituted pyrrolo[2,3-d]pyrimidine-2,4-diones [II; R1 = CH2CH2OH, CH2C(:NH)NH2, CH2CH2NH2, CH2CONH2] were synthesized and tested for inhibition phosphorylase. 5-Bromo-6-aminouracil (I; R = NH2, X = Br), 5-cyano-6-[3-(methylamino)propyl]uracil (I; R = CH2CH2CH2NHMe, X = CN), and 7-(2-aminoethyl)pyrrolo[2,3-d]pyrimidine-2,4-dione (II; R1 = CH2CH2NH2) inhibited thymidine phosphorylase with IC50s of 7.6, 3.8 and 44.0 .mu.M, resp.

IT **207978-43-6**, 7-(2-Hydroxyethyl)pyrrolo[2,3-d]pyrimidine-2,4-dione
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **BIOL (Biological study)**
(prepn. and thymidine phosphorylase inhibition by aminouracils and pyrrolo[2,3-d]pyrimidinediones)

RN 207978-43-6 CAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione, 7-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 44 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:192795 CAPLUS

DOCUMENT NUMBER: 128:244268

TITLE: Synthesis of 2',3'-didehydro-2',3'-dideoxyisoinosine and oxidation of fluorescent 2-hydroxypurine nucleosides by xanthine oxidase

AUTHOR(S): Seela, Frank; Chen, Yaoming; Sauer, Markus

CORPORATE SOURCE: Lab. Org. Bioorg. Chem., Inst. Chem., Univ. Osnabruck, Osnabruck, D-49069, Germany

SOURCE: Nucleosides & Nucleotides (1998), 17(1-3), 39-52
CODEN: NUNUD5; ISSN: 0732-8311

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The syntheses of 2',3'-didehydro-2',3'-dideoxyisoinosine (d4isoI) as well as 7-deaza-2',3'-didehydro-2',3'-dideoxyisoinosine (d4c7isoI) are described. Both compds. show strong fluorescence. Compd. d4isoI is

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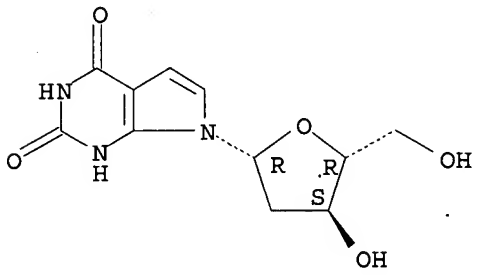
oxidized by xanthine oxidase to give the corresponding xanthine 2',3'-dideoxy-2',3'-didehydronucleosides. A preparative chemo-enzymic synthesis of 2'-deoxyxanthosine is described.

IT **96022-82-1P**
RL: BPN (Biosynthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. of didehydrodideoxyisoinosine and oxidn. of fluorescent hydroxypurine nucleosides by xanthine oxidase)

RN 96022-82-1 CAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione, 7-(2-deoxy-.beta.-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 45 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:131379 CAPLUS

DOCUMENT NUMBER: 128:204861

TITLE: Synthesis of new thieno[2,3-d]pyrimidine-2,4(1H,3H)-diones with analgesic and anti-inflammatory activities

AUTHOR(S): Romeo, Giuseppe; Russo, Filippo; Caruso, Antonina; Cutuli, Vincenza; Amico-Roxas, Matilde

CORPORATE SOURCE: Dipartimento Scienze Farmaceutiche, Facolta Medicina, Universita Catania, Catania, I-95125, Italy

SOURCE: Arzneimittel-Forschung (1998), 48(2), 167-172
CODEN: ARZNAD; ISSN: 0004-4172

PUBLISHER: Editio Cantor Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

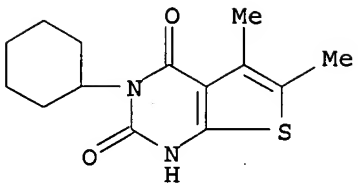
OTHER SOURCE(S): CASREACT 128:204861

AB A series of 1,3-disubstituted thieno[2,3-d]pyrimidine-2,4(1H,3H)-diones was prepd. The analgesic and anti-inflammatory activities of synthesized compds. were investigated by the phenylquinone-induced writhing syndrome test, carrageenan rat paw edema test and AcOH-induced peritonitis assay. Most of the compds. are superior to mefenamic acid, as they were devoid of any ulcerogenic activity.

IT **203808-33-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent)
(prepn. of thienopyrimidinediones with analgesic and anti-inflammatory activity)

RN 203808-33-7 CAPLUS

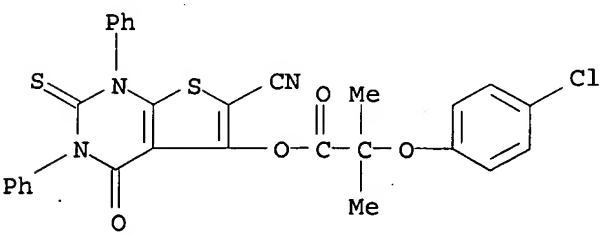
CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-cyclohexyl-5,6-dimethyl- (9CI) (CA INDEX NAME)



L8 ANSWER 46 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:122853 CAPLUS
DOCUMENT NUMBER: 128:238986
TITLE: Synthesis of 6-thiosubstituted 5-ethoxycarbonyl-1,3-diphenyl-2-thioxo-2,3-dihydropyrimidin-4(1H)-ones, 6-substituted 5-hydroxy-1,3-diphenyl-2,3-dihydrothieno[2,3-d]pyrimidin-4(1H)-ones and their esters with local anesthetic, antiarrhythmic, antiinflammatory and analgesic activities
AUTHOR(S): Ranise, Angelo; Bruno, Olga; Schenone, Silvia; Bondavalli, Francesco; Falcone, Giuseppe; Filippelli, Walter; Sorrentino, Salvatore
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche dell'Universita, Genoa, I-16132, Italy
SOURCE: Farmaco (1997), 52(8-9), 547-555
CODEN: FRMCE8; ISSN: 0014-827X
PUBLISHER: Societa Chimica Italiana
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis of 6-thiosubstituted 5-ethoxycarbonyl-1,3-diphenyl-2-thioxo-2,3-dihydropyrimidin-4(1H)-ones, and of 6-substituted 5-hydroxy-1,3-diphenyl-2,3-dihydrothieno[2,3-d]pyrimidin-4(1H)-ones and their esters is described. These derivs. were prepd. to evaluate the influence on the pharmacol. profile of alkyl substituents bearing polar/hydrophilic functionalities at an enethiol substructure or to assess the effects arising from the incorporation of the sulfur atom in a thiophene moiety as in thienopyrimidinones in comparison with a series of 5-substituted 6-acylthio-1,3-diphenyl-2-thioxo-2,3-dihydropyrimidin-4(1H)-ones, previously described. Preliminary screenings suggest that all tested compds. maintained or even increased the local anesthetic activity, but failed in the platelet anti-aggregating activity; antiarrhythmic and antiinflammatory activity was preserved in some esters.

IT **205128-15-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); **BIOL (Biological study); BIOL (Biological study)**
(prepn. and pharmacol. activity of)
RN 205128-15-0 CAPLUS
CN Propanoic acid, 2-(4-chlorophenoxy)-2-methyl-, 6-cyano-1,2,3,4-tetrahydro-4-oxo-1,3-diphenyl-2-thioxothieno[2,3-d]pyrimidin-5-yl ester (9CI) (CA INDEX NAME)



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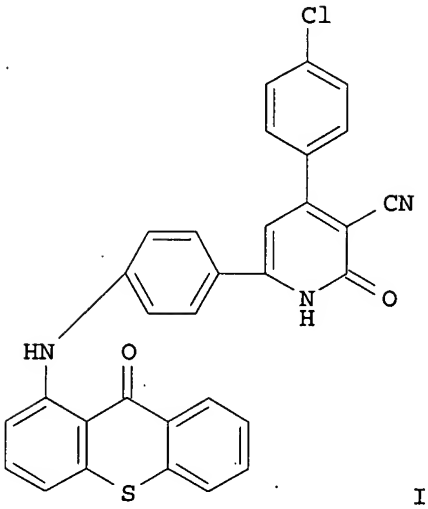
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 47 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:61889 CAPLUS
DOCUMENT NUMBER: 128:192627
TITLE: Synthesis of 1-(heterocyclic substituted anilino)-9H-thioxanthen-9-ones and their antitumor activity
AUTHOR(S): Omar, Mahmoud T.
CORPORATE SOURCE: Chemotherapeutic Department, National Research Centre, Cairo, 12311, Egypt
SOURCE: Archives of Pharmacal Research (1997), 20(6), 610-619
CODEN: APHRDQ; ISSN: 0253-6269
PUBLISHER: Pharmaceutical Society of Korea
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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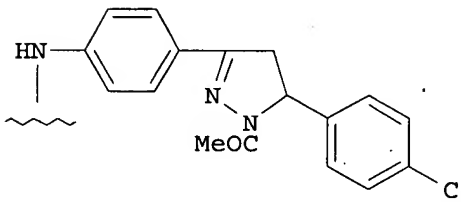

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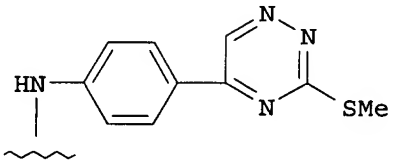


III

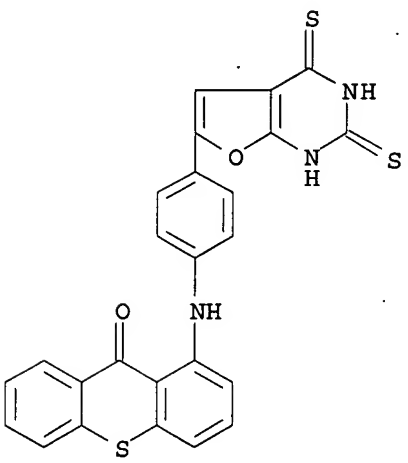
AB Some new 9H-thioxanthen-9-one incorporated into heterocyclic systems such as pyridone I, pyrazoline II, and triazine III and other related compds. through a para iminophenyl grouping at position-1 of the thioxanthenone ring were synthesized and tested as antitumor agents against L 1210 leukemia in mice. Some of the new compds. showed considerable antitumor activity.

IT **202994-27-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and antitumor activity of (heterocyclic anilino)thioxanthenones)

RN 202994-27-2 CAPLUS
CN 9H-Thioxanthen-9-one, 1-[[4-(1,2,3,4-tetrahydro-2,4-dithioxofuro[2,3-

10/ 075,073

d]pyrimidin-6-yl)phenyl]amino]- -(9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 48 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:740125 CAPLUS
DOCUMENT NUMBER: 128:16433
TITLE: Preparation of thienopyridininones as GNRH agonists and antagonists
INVENTOR(S): Suzuki, Nobuhiro; Furuya, Shuichi
PATENT ASSIGNEE(S): Furuya, Shuichi, Japan; Takeda Chemical Industries, Ltd.; Suzuki, Nobuhiro
SOURCE: PCT Int. Appl., 285 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740846	A1	19971106	WO 1997-JP1459	19970425
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2250908	AA	19971106	CA 1997-2250908	19970425
AU 9724079	A1	19971119	AU 1997-24079	19970425
JP 10045625	A2	19980217	JP 1997-108713	19970425
EP 906115	A1	19990407	EP 1997-919703	19970425
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6015789	A	20000118	US 1997-894317	19970814

PRIORITY APPLN. INFO.: JP 1996-109790 19960430
JP 1996-138873 19960531
WO 1997-JP1459 19970425
OTHER SOURCE(S): MARPAT 128:16433
AB The present invention relates to a pharmaceutical comprising a LH releasing hormone agonist in combination with a LH releasing hormone antagonist. By using a LH releasing hormone agonist and a LH releasing

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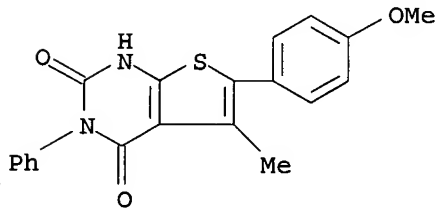
hormone antagonist in combination, the transient exacerbation with elevation of serum testosterone and estrogen owing to the pituitary-gonadotropic action (acute action) manifested immediately following an initial dose of the LH releasing hormone agonist can be successfully obviated. The synthesis of the title compds. and their activity are described.

IT **174072-91-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of bicyclic LHRH antagonists and use in combination with LHRH active peptides)

RN 174072-91-4 CAPLUS

CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-(4-methoxyphenyl)-5-methyl-3-phenyl- (9CI) (CA INDEX NAME)



L8 ANSWER 49 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:623171 CAPLUS
DOCUMENT NUMBER: 127:293243
TITLE: Pyrimidin-4-one derivatives as pesticides
INVENTOR(S): Walter, Harald
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Walter, Harald
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733890	A1	19970918	WO 1997-EP1056	19970303
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9719250	A1	19971001	AU 1997-19250	19970303
AU 716248	B2	20000224		
EP 888359	A1	19990107	EP 1997-907065	19970303
EP 888359	B1	20020502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO				
CN 1213373	A	19990407	CN 1997-192939	19970303
BR 9708314	A	19990803	BR 1997-8314	19970303
NZ 331175	A	20000228	NZ 1997-331175	19970303
JP 2000506171	T2	20000523	JP 1997-532076	19970303
AT 216999	E	20020515	AT 1997-907065	19970303
ES 2176697	T3	20021201	ES 1997-907065	19970303
ZA 9702041	A	19970929	ZA 1997-2041	19970310

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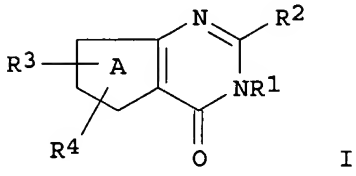
TW 449462	B	20010811	TW 1997-86102901	19970310
US 6262058	B1	20010717	US 1998-125760	19980825

PRIORITY APPLN. INFO.: CH 1996-635 A 19960311
WO 1997-EP1056 W 19970303

OTHER SOURCE(S): MARPAT 127:293243

GI



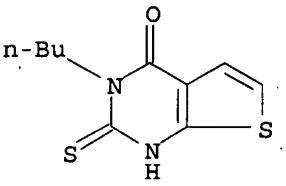
I

AB Pyrimidin-4-ones I [R1 = alkyl, alkenyl, alkynyl, cycloalkyl, each of which is unsubstituted or substituted by halo, alkoxy, haloalkoxy, etc.; R2 = OR5, SR6, NR7R8; R3, R4 = H, halo, alkyl, haloalkyl, etc.; R5, R6 = alkyl, alkenyl, alkynyl, cycloalkyl; R7, R8 = alkyl, alkenyl, alkynyl, cycloalkyl; A is a 5-membered heterocyclic ring which may be satd., unsatd., arom., nonarom. and which may contain 1 or 2 O, S, and/or N] were prepd. I have plant-protective properties and are suitable for protecting plants against infestation by phytopathogenic micro-organisms, in particular fungi. Thus, addn. of NaH to Me 2-(3-butylthioureido)thiophene-3-carboxylate gave 3-butyl-2-thioxo-2,3-dihydro-1H-thieno[2,3-d]pyrimidin-4-one. The fungicidal activities of I against Puccinia graminis on wheat, Colletotrichum lagenarium on cucumbers, Venturia inaequalis on apples, Erysiphe graminis on barley, Plasmopara viticola on vines, and Uncinula necator on vines were measured.

IT **197017-02-0P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of pyrimidinones as agrochem. fungicides)

RN 197017-02-0 CAPLUS

CN Thieno[2,3-d]pyrimidin-4(1H)-one, 3-butyl-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)



L8 ANSWER 50 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:542142 CAPLUS
DOCUMENT NUMBER: 127:253169
TITLE: LH-RH antagonist compositions
INVENTOR(S): Ishiguro, Toshihiro; Furuya, Shuichi; Suzuki, Nobuhiro
PATENT ASSIGNEE(S): Takeda Seiyaku K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

10/ 075,073

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

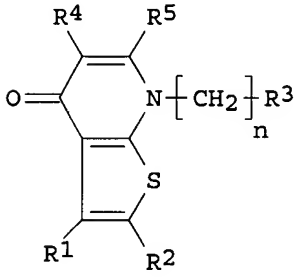
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09208496	A2	19970812	JP 1996-14322	19960130
WO 2000056739	A1	20000928	WO 2000-JP1777	20000323

W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1996-14322 A 19960130
JP 1999-79371 A 19990324
JP 2000-18019 A 20000125

OTHER SOURCE(S): MARPAT 127:253169
GI



I

AB LH-RH antagonist compns. contain: (A) thienopyridine compds. e.g. (I) [R1-2 = H or linkage via N, C or S, R3 = (un)substituted polycyclic or other group, R4 = H, formyl, (un)substituted carbony group, etc., R5 = H, or linkage via C, n = 0-3] (prepns. given) as LH-RH receptor antagonists and (B) branched cyclodextrincarboxylic acid [e.g. 6-O-cyclomaltoheptaoxyl-(6.fwdarw.1)-.alpha.-D-glucosyl-(4.fwdarw.1)-O-.alpha.-D-glucuronic acid Na salt] to improve their soly., bioavailability and stability. Soly. of 3-(N-benzyl-N-methylaminomethyl)-4,7-dihydro-7-(2,6-difluorobenzyl)-5-benzoyl-2-(4-isobutylaminophenyl)-4-oxoethieno[2,3-b]pyridine in the presence of 6-O-cyclomaltoheptaoxyl-(6.fwdarw.1)-.alpha.-D-glucosyl-(4.fwdarw.1)-O-.alpha.-D-glucuronic acid Na salt was 20.5 mg/mL vs. 0.5 mg/mL.

IT **181817-15-2P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(LH-RH antagonist compns.)

RN 181817-15-2 CAPLUS

CN Propanamide, N-[4-[1-[(2,6-difluorophenyl)methyl]-1,2,3,4-tetrahydro-3-(3-methoxyphenyl)-5-[[methyl(phenylmethyl)amino]methyl]-2,4-dioxothieno[2,3-d]pyrimidin-6-yl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

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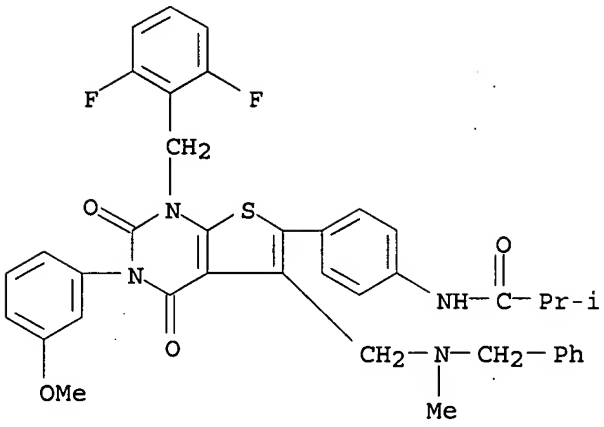

L8 ANSWER 51 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:528659 CAPLUS
DOCUMENT NUMBER: 127:135807
TITLE: Preparation of condensed bicyclic compounds as prolactin production inhibitors
INVENTOR(S): Suzuki, Nobuhiro; Matsumoto, Hirokazu; Furuya, Shuichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 149 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 781774	A2	19970702	EP 1996-119589	19961206
EP 781774	A3	19971112		
EP 781774	B1	20020731		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2192283	AA	19970609	CA 1996-2192283	19961206
JP 09216823	A2	19970819	JP 1996-326455	19961206
AT 221534	E	20020815	AT 1996-119589	19961206
US 5977132	A	19991102	US 1996-762125	19961209

PRIORITY APPLN. INFO.: JP 1995-345046 A 19951208
OTHER SOURCE(S): MARPAT 127:135807
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; W = (un)substituted homo or hetero 5-7-membered ring; Y = (un)substituted homo or hetero 5-7-membered ring] and their salts, useful for the prophylaxis or therapy of diseases accompanied with an excess prolactin prodn. or diseases having enhanced reactivity with prolactin, or for inhibiting puerperal lactation, and also useful as a prophylactic or therapeutic agent of galactorrhea, hyperprolactinemic ovulation disturbance, amenorrhea-galactorrhea syndrome, prolactinoma, and interbrain tumor, and acromegaly, pituitary gigantism, were prepd. and formulated. Thus, reaction of 4-hydroxy-5-hydroxymethyl-2-(4-methoxyphenyl)-3-methylthieno[2,3-b]pyridine with 2-fluorobenzyl chloride in the presence of KI afforded the title compd. II. For example, the

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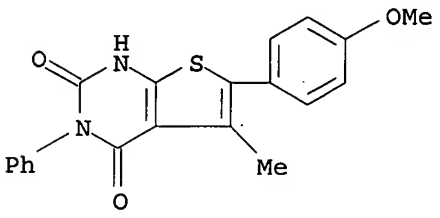
title compd. III.HCl showed 34% inhibition of the PRL secretion at 2.mu.M and 62% inhibition at 10.mu.M.

IT **174072-91-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of condensed bicyclic compds. as prolactin prodn. inhibitors)

RN 174072-91-4 CAPLUS

CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-(4-methoxyphenyl)-5-methyl-3-phenyl- (9CI) (CA INDEX NAME)



L8 ANSWER 52 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:254019 CAPLUS
DOCUMENT NUMBER: 126:238392
TITLE: Thienopyrimidine derivatives, their production, and use as endothelin receptor antagonists
INVENTOR(S): Furuya, Shuichi; Choh, Nobuo; Watanabe, Toshifumi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan; Furuya, Shuichi; Choh, Nobuo; Watanabe, Toshifumi
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9707119	A1	19970227	WO 1996-JP2290	19960813
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9666701	A1	19970312	AU 1996-66701	19960813
JP 09110873	A2	19970428	JP 1996-213946	19960813
EP 846119	A1	19980610	EP 1996-926638	19960813
EP 846119	B1	20021113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
AT 227726	E	20021115	AT 1996-926638	19960813
US 6140325	A	20001031	US 1996-723151	19960930

PRIORITY APPLN. INFO.:
US 1994-295049 A2 19940826
JP 1995-209498 A 19950817
JP 1993-211972 A 19930826
JP 1994-148126 A 19940629
WO 1996-JP2290 W 19960813

OTHER SOURCE(S): MARPAT 126:238392
GI

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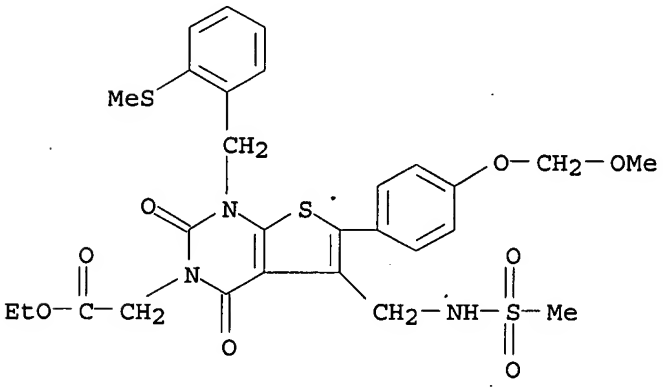
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Thienopyrimidine derivs., having an optionally esterified carboxyl group and another group capable of forming an anion or a group convertible thereto, such as I, are disclosed [wherein R1, R2 = H, (un)substituted hydrocarbon residue; R3 = C1-6 alkyl optionally substituted by C1-6 alkoxycarbonyl or NHSO2R5; R5 = C1-6 (halo)alkyl, C6-14 aryl; R4 = (un)substituted hydrocarbon or heterocyclic residue; W = bond or spacer group; n = 1-3; or salt thereof]. I exhibit high endothelin receptor antagonist activity, and are therefore prophylactic or therapeutic for a variety of diseases, esp. vasoconstriction, acute renal failure, myocardial infarction, liver disorders, angina pectoris, cerebral infarction, cerebrovasospasm, hypertension, kidney disease, asthma, etc. For instance, the thienopyrimidine deriv. II underwent a sequence of N-alkylation with 2-(MeS)C6H4CH2Cl (78%), etherification at the phenolic OH with NaH and MeOCH2Cl (59%), benzylic bromination at the Me group using NBS and AIBN, condensation of the bromide with MeSO2NH2 using NaH in DMF (59%), and sapon. with NaOH in aq. THF-MeOH (76%), to give title compd. III. In assays for binding to porcine coronary ETA and ETB receptors in vitro, III had IC50 values of 0.0076 .mu.M and 0.100 .mu.M, resp.

IT **188478-67-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of thienopyrimidine derivs. as endothelin receptor antagonists)

RN 188478-67-3 CAPLUS

CN Thieno[2,3-d]pyrimidine-3(2H)-acetic acid, 1,4-dihydro-6-[4-(methoxymethoxy)phenyl]-5-[[(methylsulfonyl)amino]methyl]-1-[[2-(methylthio)phenyl]methyl]-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 53 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:205754 CAPLUS

DOCUMENT NUMBER: 126:264304

TITLE: Synthesis of 3'-azido, 3'-amino, and 2',3'-dideoxy nucleosides from thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione

AUTHOR(S): El-Barbary, Ahmed A.; El-Brollosy, R.; Pedersen, Erik B.; Nielsen, Claus

CORPORATE SOURCE: Dep. Chem., Odense Univ., Odense, DK-5230, Den.

SOURCE: Sulfur Letters (1996), 20(1), 31-42

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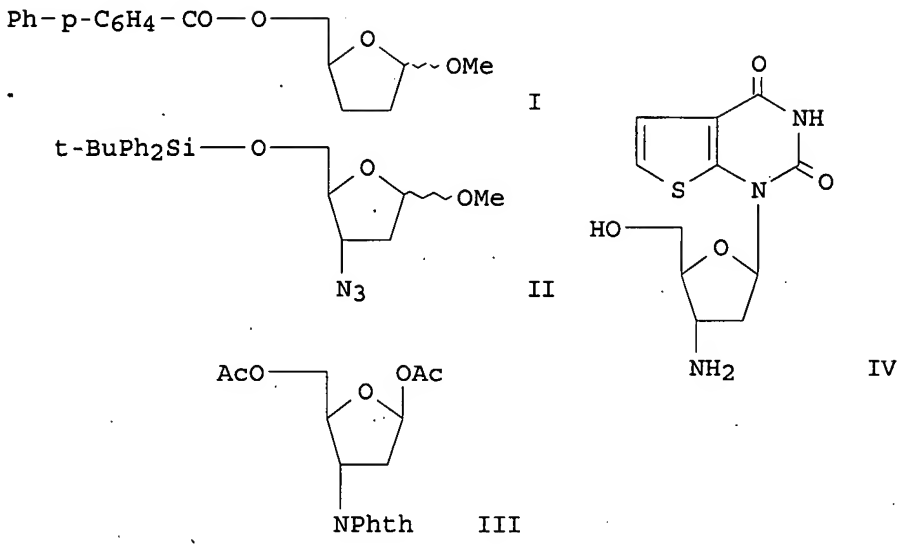
CODEN: SULED2; ISSN: 0278-6117
PUBLISHER: Harwood
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione 5 was silylated and condensed with I-III in the presence of TMS triflate to afford the corresponding 2',3'-dideoxy nucleosides after deblocking. 1-(3'-Amino-2',3'-dideoxy-.beta.-D-erythro-pentofuranosyl)thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione (IV) was preferentially obtained by treatment of the 3'-azido nucleoside V with triphenylphosphine in pyridine, followed by hydrolysis with ammonium hydroxide. In tests against HIV-1 in MT-4 cells or HSV-1 strain McIntyre in monkey kidney cells, V did not show any significant activity at 100.mu.M.

IT **188822-38-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent)
(synthesis of azido amino and dideoxy nucleosides from thienopyrimidinedione)

RN 188822-38-0 CAPLUS
CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-(3-azido-2,3-dideoxy-.beta.-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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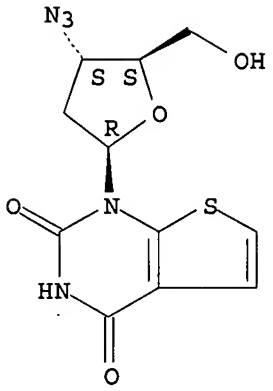

L8 ANSWER 54 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:119656 CAPLUS
DOCUMENT NUMBER: 126:181948
TITLE: Potassium-resistant triple helix formation and improved intracellular gene targeting by oligodeoxyribonucleotides containing 7-deazaxanthine
AUTHOR(S): Faruqi, A. Fawad; Krawczyk, Stephen H.; Matteucci, Mark D.; Glazer, Peter M.
CORPORATE SOURCE: Dep. Therapeutic Radiology, Yale Univ. Sch. Med., New Haven, CT, 06520-8040, USA
SOURCE: Nucleic Acids Research (1997), 25(3), 633-640
CODEN: NARHAD; ISSN: 0305-1048
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Triple helix formation by purine-rich oligonucleotides in the anti-parallel motif is inhibited by physiol. concns. of potassium. Substitution with 7-deazaxanthine (c7X) has been suggested as a strategy to overcome this effect. We have tested this by examg. triple helix formation both in vitro and in vivo by a series of triple helix-forming oligonucleotides (TFOs) contg. guanine plus either adenine, thymine, or c7X. The TFOs were conjugated to psoralen at the 5' end and were designed to bind to a portion of the supF mutation reporter gene. Using in vitro gel mobility shift assays, we found that triplex formation by the c7X-substituted TFOs was relatively resistant to the presence of 140 mM K+. The c7X-contg. TFOs were also superior in gene targeting expts. in mammalian cells, yielding 4- to 5-fold higher mutation frequencies in a shuttle vector-based mutagenesis assay designed to detect mutations induced by third strand-directed psoralen adducts. When the phosphodiester backbone was replaced by a phosphorothioate one, the in vitro binding of the c7X-TFOs was not affected, but the efficiency of in vivo triple helix formation was reduced. These results indicate the utility of the c7X substitution for in vivo gene targeting expts., and they show that the feasibility of the triplex anti-gene strategy can be significantly enhanced by advances in nucleotide chem.

IT **39929-79-8**, 7-Deazaxanthine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); **BIOL (Biological study)**
(potassium-resistant triple helix formation and improved intracellular gene targeting by oligodeoxyribonucleotides contg. 7-deazaxanthine)

RN 39929-79-8 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione (9CI) (CA INDEX NAME)

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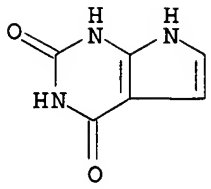
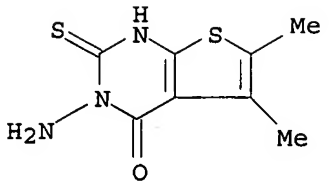


L8 ANSWER 55 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:80137 CAPLUS
DOCUMENT NUMBER: 126:69742
TITLE: [[(Arylpiperazinyl)alkyl]thio]thieno[2,3-d]pyrimidinone Derivatives as High-Affinity, Selective 5-HT1A Receptor Ligands
AUTHOR(S): Modica, Maria; Santagati, Maria; Russo, Filippo; Parotti, Luca; De Gioia, Luca; Selvaggini, Carlo; Salmona, Mario; Mennini, Tiziana
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Catania, Catania, 95125, Italy
SOURCE: Journal of Medicinal Chemistry (1997), 40(4), 574-585
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of 2-[[(4-aryl-1-piperazinyl)alkyl]thio]thieno[2,3-d]pyrimidin-4(1H)-one and 3-substituted 2-[[(4-aryl-1-piperazinyl)alkyl]thio]thieno[2,3-d]pyrimidin-4(3H)-one derivs. was prepd. and evaluated for in vitro 5-HT1A receptor affinity by radioligand binding assays; the selectivity for 5-HT1A receptors rather than .alpha.1-adrenoceptors was also examd. (ratio of the IC50 .alpha.1 to IC50 5-HT1A). The binding tests gave indications about the best features of the [(arylpiperazinyl)alkyl]thio moiety and of the substituents on the thiophene and pyrimidinone rings for efficacious and selective 5-HT1A ligands. The most effective deriv. for displacing [3H]-8-OH-DPAT from rat hippocampal membranes was 3-amino-2-[[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]thio]-5,6-dimethylthieno[2,3-d]pyrimidin-4(3H)-one (IC50 = 0.3 nM) with selectivity of 24 for the 5-HT1A over the .alpha.1-adrenoceptor. Another compd., where the 2-methoxyphenyl on the N4 piperazine ring was replaced with a pyrimidine group, showed the best selectivity, with a ratio of 74, while its affinity IC50 for 5-HT1A was 6.8 nM. The results showed the importance of an amino group in position 3 of the thienopyrimidine system for the interaction with 5-HT1A receptor binding sites, although this fragment can affect the affinity and selectivity only if linked to the (arylpiperazinyl)alkyl moiety. Twenty of the 30 mols. used for detg. the binding affinity to 5-HT1A and .alpha.1-adrenergic receptors were selected for QSAR anal. using a series of mol. descriptors and calcd. with the TSAR software.

IT **170244-01-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(intermediate; prepn. of piperazinyl thienopyrimidinones as 5-HT1A receptor ligands)

RN 170244-01-6 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 3-amino-2,3-dihydro-5,6-dimethyl-2-thioxo- (9CI) (CA INDEX NAME)



L8 ANSWER 56 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:607515 CAPLUS
DOCUMENT NUMBER: 125:247795
TITLE: Preparation and formulation of thienopyrimidine derivatives as prophylactic or therapeutic agents for the treatment of hormone dependent diseases
INVENTOR(S): Furuya, Shuichi; Choh, Nobuo; Kato, Koichi; Hinuma, Shuji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9624597	A1	19960815	WO 1996-JP263	19960207
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AZ, BY, KG, KZ, RU, TJ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
WO 9528405	A1	19951026	WO 1995-JP728	19950414
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
NZ 332206	A	20010629	NZ 1995-332206	19950414
US 5817819	A	19981006	US 1995-454304	19950616
AU 9646327	A1	19960827	AU 1996-46327	19960207
EP 808317	A1	19971126	EP 1996-901958	19960207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
RU 2158739	C2	20001110	RU 1997-114808	19960207
US 6048863	A	20000411	US 1996-682442	19960717
AU 9883169	A1	19981105	AU 1998-83169	19980908
AU 713116	B2	19991125		
US 6180792	B1	20010130	US 2000-481535	20000112

PRIORITY APPLN. INFO.:

JP 1995-20717	U	19950208
JP 1995-40151	A	19950228
US 1995-454304	A2	19950414
WO 1995-JP728	A	19950414
JP 1995-271638	A	19951019
JP 1994-80732	A	19940419
JP 1994-195541	A	19940819
JP 1994-271010	A	19941104
AU 1995-22239	A3	19950414

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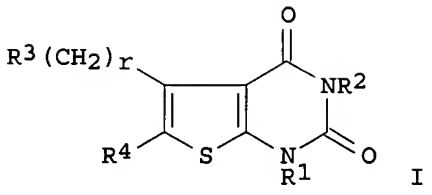
NZ 1995-283813 A1 19950414
WO 1996-JP263 W 19960207
US 1996-682442 A1 19960717

OTHER SOURCE(S): MARPAT 125:247795

GI



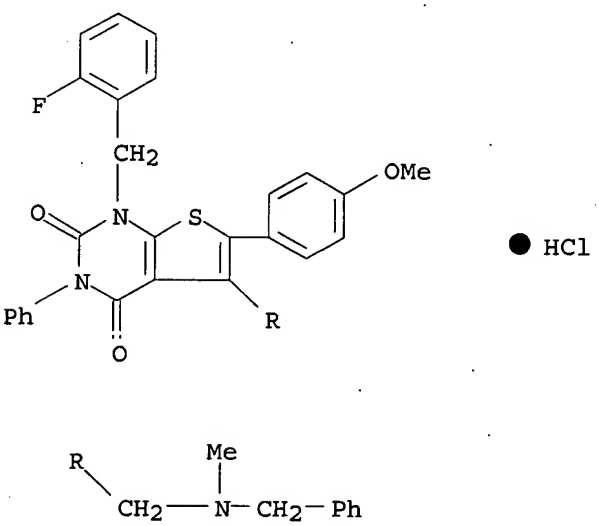
I

AB The title compds. I [R1 = H, alkyl, etc.; R2 = H, (un)substituted aryl, etc.; R3 = (un)substituted amino; r = 0 - 3; R4 = (un)substituted aryl] are prepd. I are prophylactic or therapeutic agents for the prevention or treatment of several hormone dependent diseases, for example, a sex hormone dependent cancer (e.g. prostatic cancer, cancer of uterine , breast cancer, pituitary adenoma), benign prostatic hypertrophy, myeloma of the uterus, endometriosis, precocious puberty, amenorrhea, premenstrual syndrome, polycystic ovary syndrome and acne vulgaris; I are effective as fertility controlling agents in both sexes ; I can be used as contraceptives for male or female, as ovulation-inducing agents; I can be used as infertility treating agents. I are also useful as spawning promotion agents in fish.

IT **174071-52-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of thienopyrimidine derivs. as prophylactic or therapeutic agents for treatment of hormone dependent diseases)

RN 174071-52-4 CAPLUS

CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-[(2-fluorophenyl)methyl]-6-(4-methoxyphenyl)-5-[[methyl(phenylmethyl)amino]methyl]-3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



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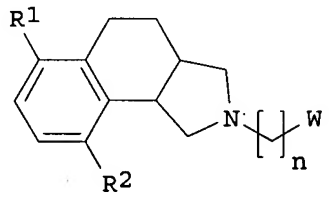
ACCESSION NUMBER: 1996:580284 CAPLUS
DOCUMENT NUMBER: 125:247845
TITLE: Preparation of heterocyclyl-substituted benz[e]isoindoles as .alpha.1 adrenergic antagonists
INVENTOR(S): Meyer, Michael D.; Altenbach, Robert J.; Basha, Fatima Z.; Carroll, William A.; Drizin, Irene; Kerwin, James F., Jr.; Lebold, Suzanne A.; Lee, Edmund L.; Pratt, John K.; et al.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

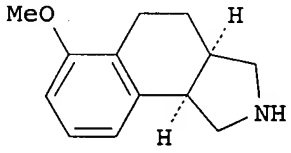
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622991	A1	19960801	WO 1996-US178	19960111
W: AU, CA, JP, KR, MX				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5521181	A	19960528	US 1995-379823	19950127
US 5792767	A	19980811	US 1995-465476	19950605
AU 9647473	A1	19960814	AU 1996-47473	19960111
AU 694611	B2	19980723		
EP 805812	A1	19971112	EP 1996-903364	19960111
EP 805812	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 11501616	T2	19990209	JP 1996-522872	19960111

PRIORITY APPLN. INFO.: US 1995-379823 A 19950127
US 1995-465476 A 19950605
WO 1996-US178 W 19960111

OTHER SOURCE(S): MARPAT 125:247845
GI



I



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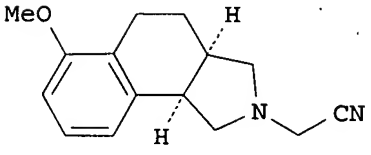
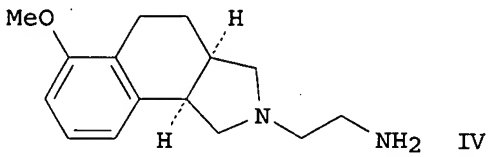
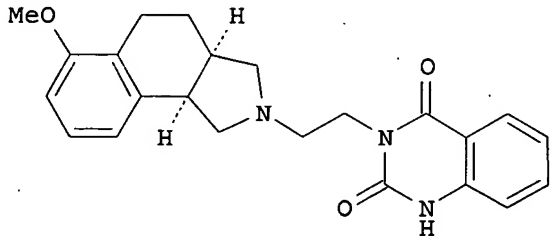


III



IV



V

10/ 075,073

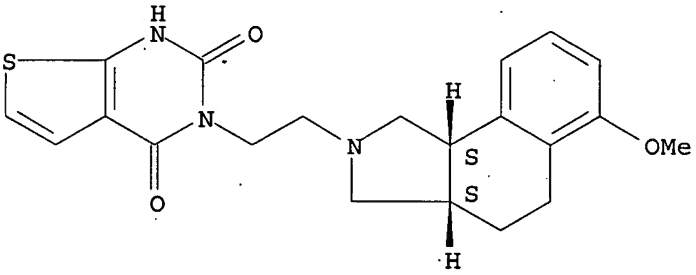
AB The title compds. [I; R1, R2 = H, C1-6 alkyl, OH, etc.; W = (substituted) quinazolinyl, thieno[3,2-d]pyrimidinyl, thieno[2,3-d]pyrimidinyl, etc.; n = 2-6], useful in the treatment of benign prostatic hyperplasia (BPH), were prepd. Thus, reaction of benz[e]isoindole II with ClCH2CN in the presence of EtN(i-Pr)2 in MeCN followed by treatment of the intermediate III with LiAlH4/THF and reaction of amine IV with 2-(EtOCO)C6H4NCO in PhMe afforded the desired product cis-V.HCl which showed pA2 of 8.49 for inhibition of phenylephrine(PE)-induced contraction of rat vas.

IT **179114-35-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of heterocyclyl-substituted benz[e]isoindoles as .alpha.1 adrenergic antagonists)

RN 179114-35-3 CAPLUS

CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-[2-[(3aR,9bR)-1,3,3a,4,5,9b-hexahydro-6-methoxy-2H-benz[e]isoindol-2-yl]ethyl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

L8 ANSWER 58 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:488756 CAPLUS
DOCUMENT NUMBER: 125:123719
TITLE: Treatment of toxoplasmosis
INVENTOR(S): El Kouni, Mahmoud H.; Guarcello, Vincent; Naguib, Fardos N. M.
PATENT ASSIGNEE(S): University of Alabama at Birmingham Research Foundation, USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9618398	A1	19960620	WO 1995-US16343	19951214
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5773424	A	19980630	US 1994-358195	19941216
CA 2183598	AA	19960620	CA 1995-2183598	19951214
EP 755255	A1	19970129	EP 1995-944112	19951214

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R:--DE, FR, GB

PRIORITY APPLN. INFO.: US 1994-358195 19941216
WO 1995-US16343 19951214

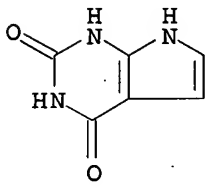
OTHER SOURCE(S): MARPAT 125:123719

AB Pharmaceutical compns. comprising purine analogs and uses for the compns. in treating parasite infections and other diseases or conditions are described.

IT **39929-79-8**, 7-Deazaxanthine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(purine nucleoside analogs for treatment of toxoplasmosis)

RN 39929-79-8 CAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione (9CI) (CA INDEX NAME)



L8 ANSWER 59 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:380209 CAPLUS

DOCUMENT NUMBER: 125:114680

TITLE: Bicyclic substituted hexahydrobenz[e]isoindole .alpha.-1 adrenergic antagonists

INVENTOR(S): Meyer, Michael D.; Altenbach, Robert J.; Carroll, William A.; Drizin, Irene; Lebold, Suzanne A.; Lee, Edmund L.; Sippy, Kevin B.; Tietje, Karin R.; Yamamoto, Diane M.; Kerwin, James F., Jr.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: U.S., 31 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5521181	A	19960528	US 1995-379823	19950127
US 5792767	A	19980811	US 1995-465476	19950605
CA 2210966	AA	19960801	CA 1996-2210966	19960111
WO 9622991	A1	19960801	WO 1996-US178	19960111
W: AU, CA, JP, KR, MX				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9647473	A1	19960814	AU 1996-47473	19960111
AU 694611	B2	19980723		
EP 805812	A1	19971112	EP 1996-903364	19960111
EP 805812	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 11501616	T2	19990209	JP 1996-522872	19960111
ES 2159721	T3	20011016	ES 1996-903364	19960111

PRIORITY APPLN. INFO.: US 1995-379823 A2 19950127
US 1995-465476 A 19950605
WO 1996-US178 W 19960111

AB Bicyclic substituted hexahydrobenz[e]isoindoles and their pharmaceutically acceptable salts were prepd. The compds. are .alpha.-1 adrenergic

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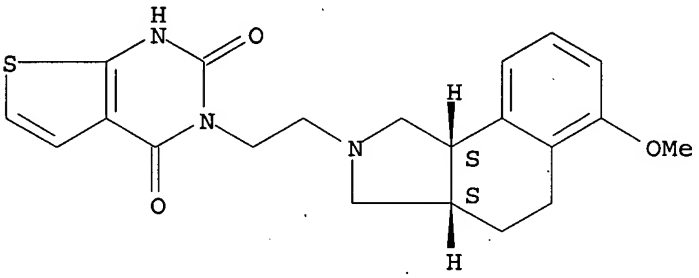
antagonists and are useful in the treatment of BPH; also disclosed are .alpha.-1 antagonist compns. and a method for antagonizing .alpha.-1 receptors and treating BPH.

IT **179114-35-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. as adrenergic antagonists)

RN 179114-35-3 CAPLUS

CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-[2-[(3aR,9bR)-1,3,3a,4,5,9b-hexahydro-6-methoxy-2H-benz[e]isoindol-2-yl]ethyl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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L8 ANSWER 60 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:242397 CAPLUS

DOCUMENT NUMBER: 124:310957

TITLE: Differential discrimination of DNA polymerases for variants of the non-standard nucleobase pair between xanthosine and 2,4-diaminopyrimidine, two components of an expanded genetic alphabet

AUTHOR(S): Lutz, Michael J.; Held, Heike A.; Hottiger, Michael; Hubscher, Ulrich; Benner, Steven A.

CORPORATE SOURCE: Department Chemistry, Swiss Federal Institute Technology, Zurich, Switz.

SOURCE: Nucleic Acids Research (1996), 24(7), 1308-13
CODEN: NARHAD; ISSN: 0305-1048

PUBLISHER: Oxford University Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Mammalian DNA polymerases .alpha. and .epsilon., the Klenow fragment of Escherichia coli DNA polymerase I and HIV-1 reverse transcriptase (RT) were examd. for their ability to incorporate components of an expanded genetic alphabet in different forms. Expts. were performed with templates contg. 2'-deoxyxanthosine (dX) or 2'-deoxy-7-deazaxanthosine (c7dX), both able to adopt a hydrogen bonding acceptor-donor-acceptor pattern on a purine nucleus (puADA). Thus these heterocycles are able to form a non-std. nucleobase pair with 2,4-diaminopyrimidine (pyDAD) that fits the Watson-Crick geometry, but is joined by a non-std. hydrogen bonding pattern. HIV-1 RT incorporated d(pyDAD)TP opposite dX with a high efficiency that was largely independent of pH. Specific incorporation opposite c7dX was significantly lower and also independent of pH. Mammalian DNA polymerases .alpha. and .epsilon. from calf thymus and the Klenow fragment from E.coli DNA polymerase I failed to incorporate d(pyDAD)TP opposite c7cX.

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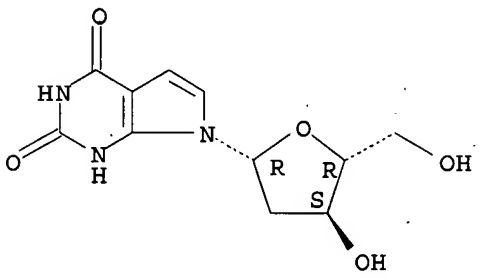
IT- **96022-82-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); **BIOL (Biological study)**
(differential discrimination of DNA polymerases for variants of non-std. nucleobase pair between xanthosine and 2,4-diaminopyrimidine as two components of expanded genetic alphabet)
RN 96022-82-1 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione, 7-(2-deoxy-.beta.-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 61 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:998353 CAPLUS
DOCUMENT NUMBER: 124:202226
TITLE: Preparation of thienopyridinones as gonadotropin-releasing hormone antagonists
INVENTOR(S): Furuya, Shuichi; Choh, Nobuo; Kato, Koichi; Hinuma, Shuji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9528405	A1	19951026	WO 1995-JP728	19950414
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 449600	B	20010811	TW 1995-84103400	19950410
CA 2186124	AA	19951026	CA 1995-2186124	19950414
AU 9522239	A1	19951110	AU 1995-22239	19950414
AU 697472	B2	19981008		
EP 756599	A1	19970205	EP 1995-915318	19950414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1146206	A	19970326	CN 1995-192628	19950414
CN 1092197	B	20021009		
HU 76320	A2	19970828	HU 1996-2884	19950414
RU 2150470	C1	20000610	RU 1996-120203	19950414
NZ 332206	A	20010629	NZ 1995-332206	19950414
JP 08295693	A2	19961112	JP 1995-91068	19950417
BR 9501736	A	19951114	BR 1995-1736	19950419
US 5817819	A	19981006	US 1995-454304	19950616

CA 2211969		AA	19960815	CA 1996-2211969	19960207
WO 9624597		A1	19960815	WO 1996-JP263	19960207
	W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AZ, BY, KG, KZ, RU, TJ				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9646327		A1	19960827	AU 1996-46327	19960207
JP 09169768		A2	19970630	JP 1996-21342	19960207
EP 808317		A1	19971126	EP 1996-901958	19960207
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
CN 1173868		A	19980218	CN 1996-191854	19960207
CN 1064045		B	20010404		
BR 9600341		A	19980915	BR 1996-341	19960207
NO 9604434		A	19961018	NO 1996-4434	19961018
FI 9604195		A	19961217	FI 1996-4195	19961018
AU 9883169		A1	19981105	AU 1998-83169	19980908
AU 713116		B2	19991125		
US 6187788		B1	20010213	US 1998-164349	19981001
CZ 290723		B6	20021016	CZ 2000-2915	20000809
US 6514988		B1	20030204	US 2000-672777	20000929

PRIORITY APPLN. INFO.:	JP 1994-80732	A	19940419
	JP 1994-195541	A	19940819
	JP 1994-271010	A	19941104
	JP 1995-20717	A	19950208
	JP 1995-40151	A	19950228
	AU 1995-22239	A3	19950414
	NZ 1995-283813	A1	19950414
	US 1995-454304	A2	19950414
	WO 1995-JP728	W	19950414
	JP 1995-91068	A	19950417
	JP 1995-271638	A	19951019
	WO 1996-JP263	W	19960207
	US 1998-164349	A3	19981001

OTHER SOURCE(S): MARPAT 124:202226

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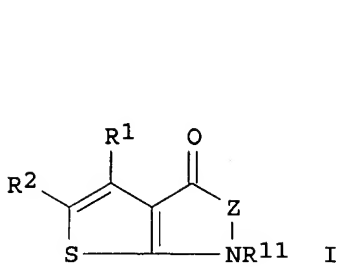

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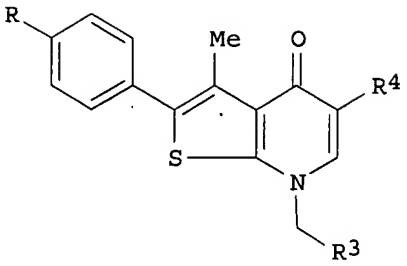

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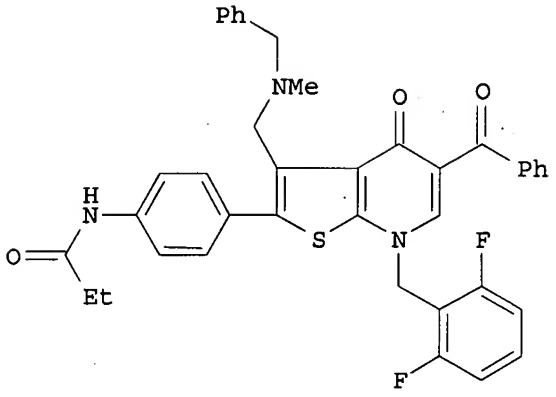


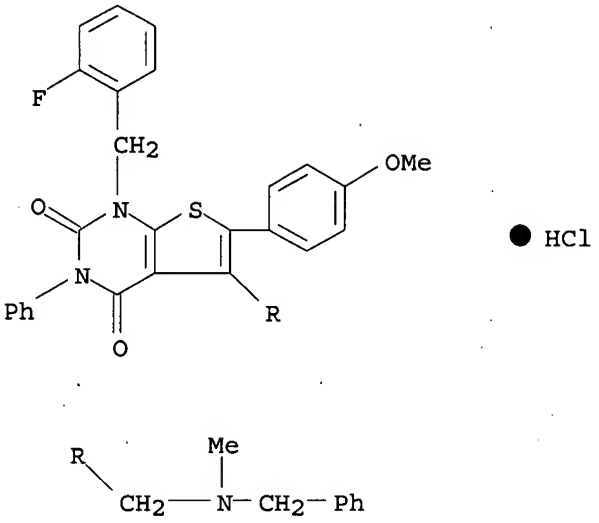
III

AB Title compds. [I; R1,R2 = H, C-, N-, or S-attached group (sic); R11 = (CH2)nR3; R3 = homocyclic (sic) or heterocyclic group; Z = CR4:CR5; R4 = H, CHO, (esterified or amidated) CO2H, etc.; R5 = H, C-attached group; n = 0-3] and I [R1 = (CH2)rR13; R2 = (un)substituted aryl; R11 = H, (ar)alkyl, etc.; R13 = (un)substituted amino; Z = NR12CO; R12 = H, alkyl, aryl(alkyl), etc.; r = 0-3] were prepd. Thus, 4-(MeO)C6H4CH2COMe was condensed with NCCH2CO2Et and the product treated with S/Et2NH to give Et 2-amino-4-methyl-5-(4-methoxyphenyl)thiophene-3-carboxylate which was N-alkylated by EtOCH:C(CO2Et)2 and the product cyclized to give, after NaH treatment and condensation with 2-(MeO)C6H4CH2Cl, title product II [R = MeO, R3 = C6H4(OMe)-2, R4 = CO2Et]. II [R = NO2, R3 = C6H3F2-2,6, R4 = COPh] was converted in 4 steps to title compd. III which gave .apprx.85% redn. of mouse plasma testosterone levels at 30mg/kg/day orally for 3 days.

IT **174071-52-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of thienopyridinones as gonadotropin-releasing hormone antagonists)

RN 174071-52-4 CAPLUS

CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-[(2-fluorophenyl)methyl]-6-(4-methoxyphenyl)-5-[[methyl(phenylmethyl)amino]methyl]-3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



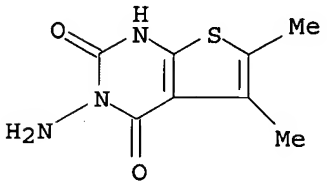
● HCl

L8 ANSWER 62 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:981373 CAPLUS
DOCUMENT NUMBER: 124:106009
TITLE: Synthesis and pharmacological evaluation of thieno[2,3-d]pyrimidine-2,4-dione and 5H-pyrimido-[5,4-b]indole-2,4-dione derivatives
AUTHOR(S): Santagati, Natale Alfredo; Caruso, Antonina; Cutuli, Vincenza M. C.; Caccamo, Francesco
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Catania, Catania, 95125, Italy
SOURCE: Farmaco (1995), 50(10), 689-95
CODEN: FRMCE8
PUBLISHER: Societa Chimica Italiana
DOCUMENT TYPE: Journal
LANGUAGE: English

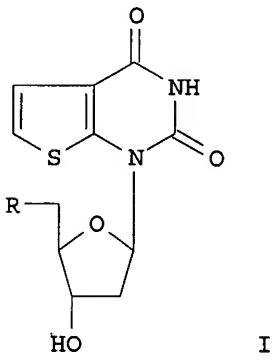
AB Two series of novel derivs. based on the thienopyrimidine and pyrimidoindole ring systems, both N-substituted in position 3, were prepd. The compds. were obtained by the reaction of N-amino groups of 5,6-dimethyl-thieno[2,3-d]pyrimidine-2,4-dione and of 5H-pyrimido[5,4-b]indole-2,4-dione with arom. aldehydes. Some of these compds. showed an appreciable analgesic and antiinflammatory activities and low acute toxicity with an optimal gastric tolerance.

IT **62349-28-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); **BIOL (Biological study)**;
BIOL (Biological study)
(prepn. and pharmacol. evaluation of thienopyrimidinediones and pyrimidoindolediones)

RN 62349-28-4 CAPLUS
CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-amino-5,6-dimethyl- (9CI) (CA INDEX NAME)



L8 ANSWER 63 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:722023 CAPLUS
DOCUMENT NUMBER: 124:9279
TITLE: Synthesis of 5'-amino- and 5'-azido-2',5'-dideoxy nucleosides from thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione
AUTHOR(S): El-Barbary, A. A.; El-Brollosy, N. R.; Pedersen, E. B.; Nielsen, C.
CORPORATE SOURCE: Dep. Chem., Odense Univ., Odense M, DK-5230, Den.
SOURCE: Monatshefte fuer Chemie (1995), 126(5), 593-600
CODEN: MOCMB7; ISSN: 0026-9247
PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



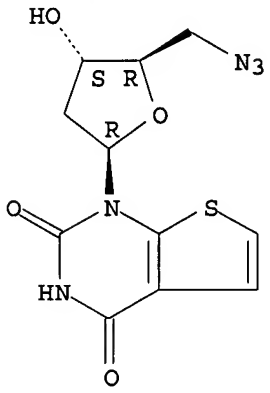
I

AB Title deoxyribonucleosides, e.g. I (R = NH2, N3), were prepd. from thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione and tested for their antiviral activity. None of the title compds. showed any activity against HIV-1 or HSV-1.

IT **171074-73-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent)
(synthesis of amino and azidodideoxy nucleosides from thienopyrimidinedione)

RN 171074-73-0 CAPLUS
CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-(5-azido-2,5-dideoxy-.beta.-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

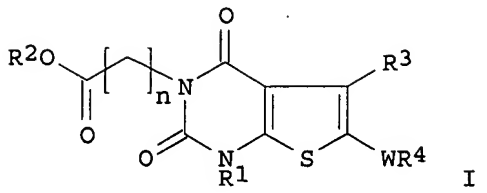
Absolute stereochemistry.



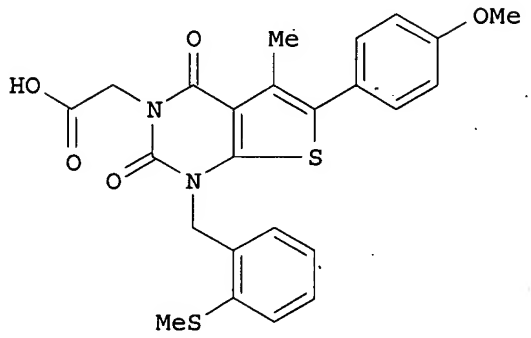
L8 ANSWER 64 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:719173 CAPLUS
DOCUMENT NUMBER: 123:112074
TITLE: Thienopyrimidine derivatives, their production, and use as endothelin antagonists.
INVENTOR(S): Furuya, Shuichi; Choh, Nobuo; Ohtaki, Tetsuya; Watanabe, Toshifumi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 640606	A1	19950301	EP 1994-113169	19940824
EP 640606	B1	20011107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 208393	E	20011115	AT 1994-113169	19940824
CA 2130859	AA	19950227	CA 1994-2130859	19940825
NO 9403146	A	19950227	NO 1994-3146	19940825
FI 9403912	A	19950605	FI 1994-3912	19940825
HU 71116	A2	19951128	HU 1994-2453	19940825
HU 218939	B	20010129		
JP 08073467	A2	19960319	JP 1994-200737	19940825
RU 2142275	C1	19991210	RU 1994-30480	19940825
CN 1106663	A	19950816	CN 1994-115719	19940826
FI 9500021	A	19951230	FI 1995-21	19950102
FI 9900387	A	19990223	FI 1999-387	19990223

PRIORITY APPLN. INFO.: JP 1993-211972 A 19930826
JP 1994-148126 A 19940629
JP 1994-200737 A 19940825
OTHER SOURCE(S): MARPAT 123:112074
GI



I



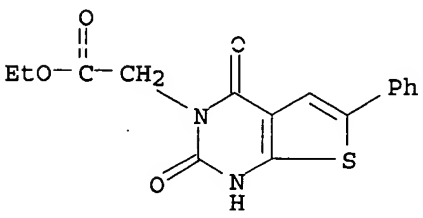
II

AB Thienopyrimidines I [R1, R2 = H, (un)substituted hydrocarbyl; R3 = H, group bonded through a C or N atom; R4 = (un)substituted hydrocarbyl; W = bond or connecting group; n = 1-3] and salts have potent endothelin antagonist activity, thus being useful for treating or preventing acute renal insufficiency, myocardial infarction, liver insufficiency, and a variety of other conditions. For example, Et 2-amino-4-methyl-5-(4-methoxyphenyl)thiophene-3-carboxylate [prepn. given] underwent reaction with Et isocyanatoacetate and subsequent cyclization in EtOH contg. EtONa to give 96% I [n = 1, R1 = H, R2 = Et, R3 = Me, WR4 = C6H4OMe-4]. N-Alkylation of the latter using NaH and 2-MeSC6H4CH2Cl gave 88% I [R1 = CH2C6H4SMe-2, others as above], which was hydrolyzed by 1N aq. NaOH in THF-MeOH to give title compd. II, a preferred compd. Fourteen selected I had IC50 of 0.066-2.9 .mu.M and 0.66-33 .mu.M against binding of [125I]-endothelin-1 to insect-expressed human endothelin-A and -B receptors in vitro, resp. Over 180 I were prepd., plus 10 pharmaceutical formulations.

IT **165807-42-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of thienopyrimidine derivs. as endothelin antagonists)

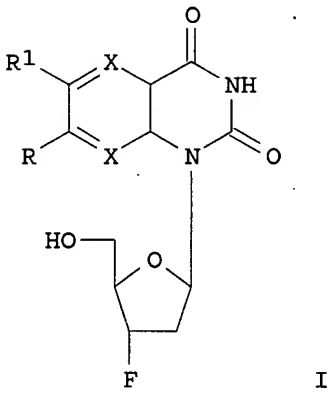
RN 165807-42-1 CAPLUS

CN Thieno[2,3-d]pyrimidine-3(2H)-acetic acid, 1,4-dihydro-2,4-dioxo-6-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



10/ 075,073

ACCESSION NUMBER: 1995:666534 CAPLUS
DOCUMENT NUMBER: 123:340709
TITLE: Synthesis and antiviral evaluation of quinazoline, thieno-[2,3-d]pyrimidine, and lumazine analogs of 3'-fluoro-3'-deoxythymidine (FLT)
AUTHOR(S): El-Barbary, Ahmed A.; El-Brollosy, Nasser R.; Abdel-Bary, Hamed M.; Pedersen, Erik B.; Stein, Paul; Nielsen, Claus
CORPORATE SOURCE: Dep. of Chemistry, Odense Univ., Odense, DK-5230, Den.
SOURCE: Liebigs Annalen (1995), (7), 1371-5
CODEN: LANAEM; ISSN: 0947-3440
PUBLISHER: VCH
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



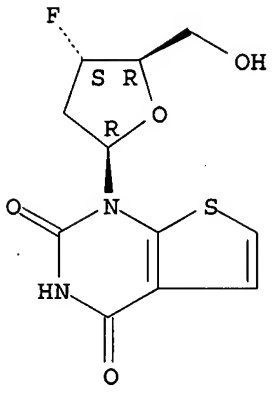
I

AB 2,4(1H,3H)-quinazolinediones, lumazine and thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione were silylated and condensed with Me 2,3-dideoxy-3-fluoro-5-O-(4-phenylbenzoyl)-.beta.-D-erythro-pentofuranoside by using trimethylsilyl triflate as a catalyst to afford after deblocking the corresponding nucleosides, e.g. I (R = R1 = H, OMe; R = H, R1 = Me, X = CH2; R = R1 = H, X = N). The new FLT analogs I were devoid of activity against HIV-1 and HSV-1.

IT **170452-52-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(synthesis and antiviral evaluation of quinazoline and thienopyrimidine and lumazine analogs of fluorodeoxythymidine)

RN 170452-52-5 CAPLUS
CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-(2,3-dideoxy-3-fluoro-.beta.-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 66 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:663730 CAPLUS
DOCUMENT NUMBER: 123:104318
TITLE: Overcoming potassium-mediated triplex inhibition
AUTHOR(S): Olivas, Wendy M.; Maher, L. James, III
CORPORATE SOURCE: Eppley Inst. Research in Cancer and Allied Diseases, Univ. Nebraska Med. Center, Omaha, NE, 68198-6805, USA
SOURCE: Nucleic Acids Research (1995), 23(11), 1936-41
CODEN: NARHAD; ISSN: 0305-1048
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Sequence-specific duplex DNA recognition by oligonucleotide-directed triple helix formation is a possible approach to in vivo gene inhibition. However, triple helix formation involving guanine-rich oligonucleotides is inhibited by physiol. ions, particularly K+, most likely due to oligonucleotide aggregation via guanine quartets. Three oligodeoxynucleotide (ODN) derivs. were tested for their ability to resist guanine quartet-mediated aggregation, yet form stable triplexes. Electrophoretic mobility shift and di-Me sulfate footprinting assays were used to analyze the formation of triplexes involving these oligonucleotide derivs. In the absence of K+, all ODNs had similar binding affinities for the duplex target. Triplexes involving a 14mer ODN deriv. contg. 7-deazaxanthine substituted for three thymine bases or an 18mer ODN contg. two addnl. thymines on both the 5' and 3' termini were abolished by 50 mM K+. Remarkably, triplexes involving an ODN deriv. contg. four 6-thioguanine bases substituted for guanine resisted K+ inhibition up to 200 mM. We hypothesize that the increased radius and decreased electronegativity of sulfur in the 6-position of guanine destabilize potential guanine quartets. These results improve the prospects for creating ODNs that might serve as specific and efficient gene repressors in vivo.

IT **39929-79-8**, 7-Deazaxanthine
RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); **BIOL (Biological study)**
(triplexes involving a 14mer oligodeoxynucleotide deriv. contg. 7-deazaxanthine substituted for three thymine bases or an 18mer ODN contg. two addnl. thymines on both the 5' and 3' termini were abolished by 50 mM K+)

RN 39929-79-8 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione (9CI) (CA INDEX NAME)

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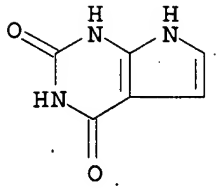
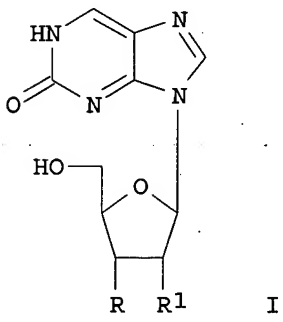


L8 ANSWER 67 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:631101 CAPLUS
DOCUMENT NUMBER: 124:87639
TITLE: 2'-Deoxyisoinosine: synthesis of a highly fluorescent nucleoside and its incorporation into oligonucleotides
AUTHOR(S): Seela, Frank; Chen, Yaoming
CORPORATE SOURCE: Lab. Organische Bioorganische Chemie, Univ. Osnabrueck, Osnabrueck, D-49069, Germany
SOURCE: Nucleosides & Nucleotides (1995), 14(3-5), 863-6
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

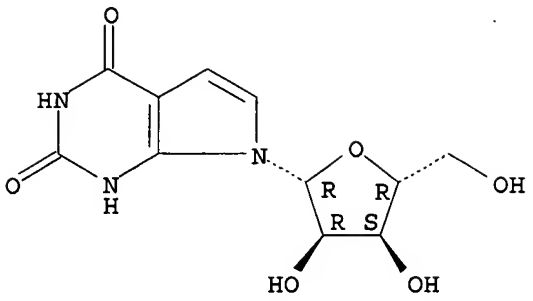
AB Fluorescent 2'-deoxyisoinosine I (R = OH, R1 = H) and the related 2',3'-dideoxynucleosides I (R = R1 = H; RR1 = bond) were prepd. and employed in solid-phase oligodeoxyribonucleotide duplexes synthesis.

IT **170024-38-1P**
RL: BPN (Biosynthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(deoxyisoinosine synthesis of a highly fluorescent nucleoside and its incorporation into oligodeoxyribonucleotides)

RN 170024-38-1 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione, 7-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/ 075,073



L8 ANSWER 68 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:570227 CAPLUS
DOCUMENT NUMBER: 123:112617
TITLE: Synthesis and antiviral evaluation of furopyrimidine diones cyclic and acyclic, nucleoside analogs
AUTHOR(S): Renault, Jacques; Jourdan, Fabrice; Laduree, Daniel; Robba, Max
CORPORATE SOURCE: Cent. Etudes Recherche Med. Normandie, U.F.R. Sci. Pharm, Caen, 14032, Fr.
SOURCE: Heterocycles (1995), 41(5), 937-45
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

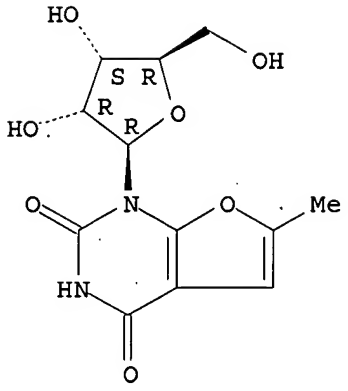
AB Following Vorbrueggen and Niedballa's method, the synthesis of new cyclic and acyclic nucleoside analogs, whose aglycon was a furopyrimidinedione, was carried out. Among the various compds. that were obtained was the a .beta.-D-ribonucleoside which gave us access to a .beta.-D-arabino nucleoside whose synthesis by Vorbrueggen and Niedballa's method had remained unsuccessful. All the new compds. were tested against human immunodeficiency virus 1 (HIV-1). None of these compds. showed significant activity.

IT **165903-88-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent)
(prepn. of furopyrimidinedione cyclic and acyclic nucleoside analogs as virucides)

RN 165903-88-8 CAPLUS
CN Furo[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-methyl-1-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/ 075,073

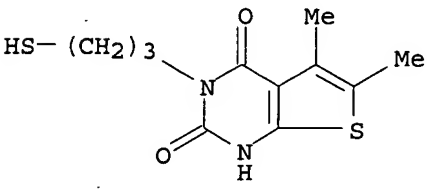


L8 ANSWER 69 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:498164 CAPLUS
DOCUMENT NUMBER: 123:228136
TITLE: Polycyclic azines with heteroatoms in 1- and 3-position. 40. Synthesis of heterocyclic immunomodulators. II. 3-Mercaptoalkylthieno[2,3-d]pyrimidine-2,4(1H,3H)-diones: synthesis and test for immuno-stimulating activity
AUTHOR(S): Guetschow, Michael; Droessler, Karl; Leistner, Siegfried
CORPORATE SOURCE: Institut Pharmazie, Universitaet Leipzig, Leipzig, D-04103, Germany
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1995), 328(3), 231-4
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: VCH
DOCUMENT TYPE: Journal
LANGUAGE: German

AB A series of 3-mercaptoalkylthieno[2,3-d]pyrimidine-2,4(1H,3H)-diones was prepd. and their immunostimulating activity was examd. The title compds. were obtained conveniently by hydrolytic ring cleavage of fused thiazolo- or 1,3-thiazinothienopyrimidines under alk. or acidic reaction conditions. The ms fragmentation of the thieno[2,3-d]pyrimidine-2,4-diones was discussed. In the delayed type hypersensitivity (DTH) test some compds. 3-mercaptoalkylthieno[2,3-d]pyrimidine-2,4(1H,3H)-diones showed immunostimulating activities in the range of isoprinosine.

IT **138701-77-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
((mercaptoalkyl)thieno[2,3-d]pyrimidinediones as immunostimulants)

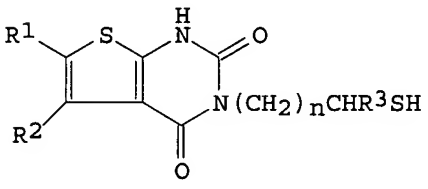
RN 138701-77-6 CAPLUS
CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-(3-mercaptopropyl)-5,6-dimethyl- (9CI) (CA INDEX NAME)



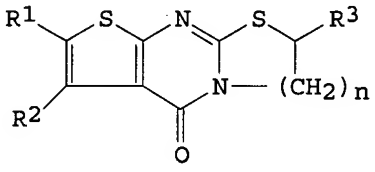
L8 ANSWER 70 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:448589 CAPLUS
DOCUMENT NUMBER: 117:48589
TITLE: Preparation of 3-(mercaptoalkyl)thieno[2,3-d]pyrimidine-2,4-(1H,3H)-diones
INVENTOR(S): Leistner, Siegfried; Guetschow, Michael; Droessler, Karl; Wagner, Guenther; Kluge, Siegfried; Lohmann, Dieter
PATENT ASSIGNEE(S): Arzneimittelwerk Dresden G.m.b.H., Germany
SOURCE: Ger. (East), 12 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 293824	A5	19910912	DD 1990-340037	19900424

PRIORITY APPLN. INFO.: DD 1990-340037 19900424
OTHER SOURCE(S): MARPAT 117:48589
GI



I



II

AB Title compds. I (R1, R2 = H, alkyl, Ph; R1R2 = alkylene; R3 = H, Me; n = 1, 2) were prepd. by hydrolyzing the cyclic isothioureas II. Thus, II [n = 1, R2R2 = (CH2)4, R3 = H] was treated with Zn-NaOH(aq.) to give 86% I [n = 1, R1R2 = (CH2)4, R3 = H, III] which had immunostimulant activity in various tests. III was also effective against various plant viruses at 4 mmol/L.
IT **138701-79-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. and immunosuppressant activity of)
RN 138701-79-8 CAPLUS

L8 ANSWER 71 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:632279 CAPLUS
DOCUMENT NUMBER: 115:232279
TITLE: Preparation of 7-(biphenylmethyl)-4-oxothieno[2,3-b]pyrimidine-5-carboxylates as angiotensin II antagonists
INVENTOR(S): Morimoto, Akira; Nishikawa, Kohei; Naka, Takehiko
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

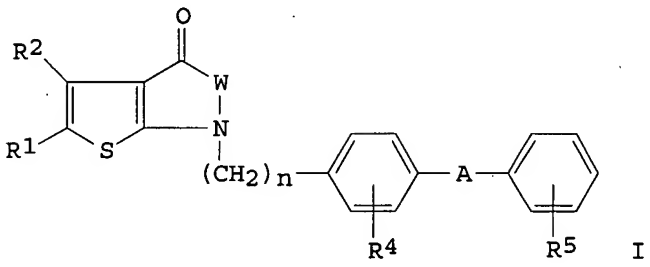
10/ 075,073

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 443568	A1	19910828	EP 1991-102513	19910221
EP 443568	B1	19960612		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2036618	AA	19910823	CA 1991-2036618	19910219
CA 2036618	C	20021029		
JP 07061986	A2	19950307	JP 1991-27273	19910221
JP 3035745	B2	20000424		
AT 139233	E	19960615	AT 1991-102513	19910221
US 5284661	A	19940208	US 1993-47368	19930419

PRIORITY APPLN. INFO.: JP 1990-42125 A 19900222
JP 1991-3958 A 19910117
US 1991-657051 B1 19910219

OTHER SOURCE(S): MARPAT 115:232279

GI



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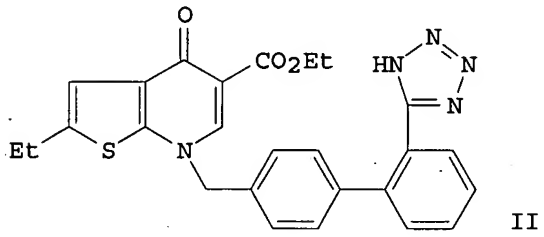

II

AB Title compds. [I; R1, R2 = H, halo, cyano, NO2, acylamino, (substituted) hydrocarbyl; R3 = H, (substituted) alkyl, alkenyl, COX; X = H, alkoxy, OH, halo, amino; R4 = H, halo, NO2; R5 = residue capable of forming an anion or convertible to an anion; R6 = H, (substituted) alkyl, alkenyl; R7 = (substituted) hydrocarbyl; A = bond, spacer group; n = 1,2; W = CR3:CR6, NR7CO], were prepd. Thus, Et 2-ethyl-4-hydroxythieno[2,3-b]pyridine-5-carboxylate, 4-(2'-cyanophenyl)benzyl chloride, and K2CO3 were stirred at 90.degree. for 2 h to give 60% coupling product, which was stirred with NaN3 and NH4Cl in DMF at 110.degree. to give 13% title compd. II. Several I at 30 mg/kg orally in rats inhibited the pressor response of angiotensin II by .gtoreq.70%. Tablets were prepd. contg. II.

IT **137070-06-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)

RN 137070-06-5 CAPLUS

CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-butyl-6-ethyl-1-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

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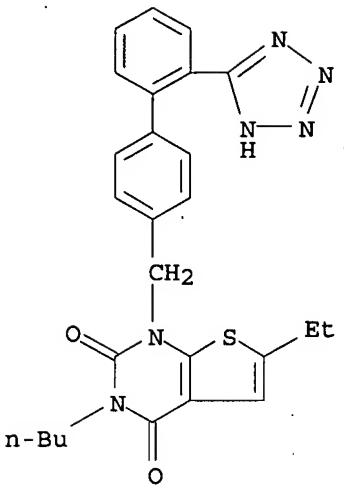
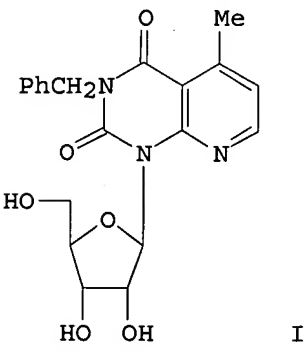


L8 ANSWER 72 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1991:622761 CAPLUS
DOCUMENT NUMBER: 115:222761
TITLE: Induction of differentiation of human myeloid leukemia HL-60 cells by novel pyrimidine nucleoside analogs
AUTHOR(S): Makishima, Makoto; Honma, Yoshio; Hozumi, Motoo; Sampi, Kazumi; Hattori, Masao; Ishikawa, Ichiro; Ogura, Haruo; Kawahara, Norio; Kanaiwa, Takao; Motoyoshi, Kazuo
CORPORATE SOURCE: Saitama Cancer Cent., Saitama, 362, Japan
SOURCE: Biochimica et Biophysica Acta (1991), 1094(1), 1-7
CODEN: BBACAQ; ISSN: 0006-3002
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB New pyrimidine nucleoside analogs were tested for their growth-inhibiting and differentiation-inducing activities on human myeloid leukemia HL-60 cells. Some of the analogs induced nitro blue tetrazolium (NBT) reducing activity in the HL-60 cells. The inducing activities of these compds. were compared at their concns. for 50% inhibition of cell growth. TI-79 (I) was a very effective inducer of NBT-redn. and of differentiation of the cells into mature granulocytes. The induction of NBT-reducing activity by I was inhibited by high concns. of the natural nucleoside, adenosine. Other differentiation inducers, such as retinoic acid, 1.alpha.,25-dihydroxyvitamin D3 and staurosporin markedly enhanced the

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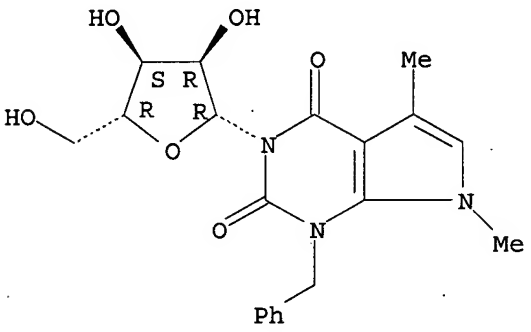
induction of differentiation of HL-60 cells by I. Nucleoside analogs such as I should be useful for differentiation therapy of some types of myelogenous leukemia.

IT **128745-40-4**, TI 56
RL: **BIOL (Biological study)**
(leukemia-inhibiting activity of, differentiation induction in, structure in relation to, in human cells)

RN 128745-40-4 CAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione, 5,7-dimethyl-1-(phenylmethyl)-3-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 73 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:102035 CAPLUS

DOCUMENT NUMBER: 114:102035

TITLE: Preparation of thienopyrimidinediones as aldose reductase inhibitors

INVENTOR(S): Ogawa, Kazuo; Yamawaki, Ichiro; Matsushita, Yoichi; Nomura, Naruo

PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

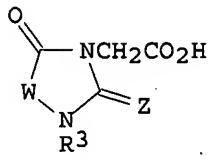
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02225485	A2	19900907	JP 1989-46743	19890227

PRIORITY APPLN. INFO.: JP 1989-46743 19890227

OTHER SOURCE(S): MARPAT 114:102035

GI



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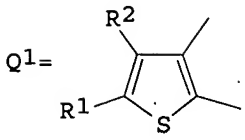
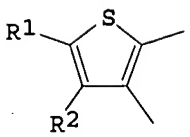
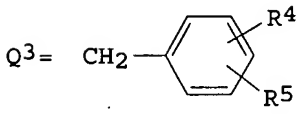
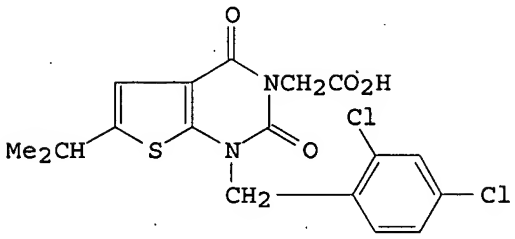








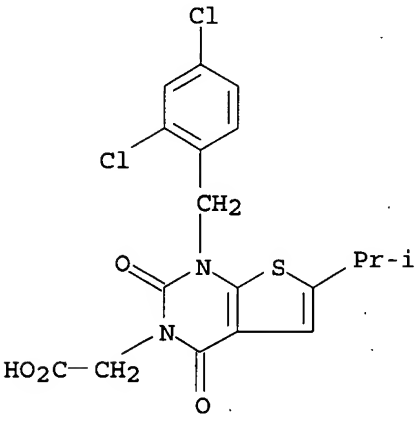
II

AB The title compds. I (W = Q1, Q2, etc.; R1, R2 = H, halo, alkyl; or R1R2 = alkylene; R3 = alkyl, Q3; R4 = halo; R5 = H, halo; Z = O, S) were prepd. I are useful as aldose reductase inhibitors for treatment of diabetes complications (no data). A mixt. of 1-(2,4-dichlorobenzyl-3-ethoxycarbonylmethyl-6-isopropylthieno[2,3-d]pyrimidine-2,4(1H,3H)-dione and HCl in AcOH was refluxed for 2 h to give thienopyrimidine II.

IT **132221-15-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of, as aldose reductase inhibitor)

RN 132221-15-9 CAPLUS

CN Thieno[2,3-d]pyrimidine-3(2H)-acetic acid, 1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-6-(1-methylethyl)-2,4-dioxo- (9CI) (CA INDEX NAME)



L8 ANSWER 74 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:98591 CAPLUS

DOCUMENT NUMBER: 114:98591

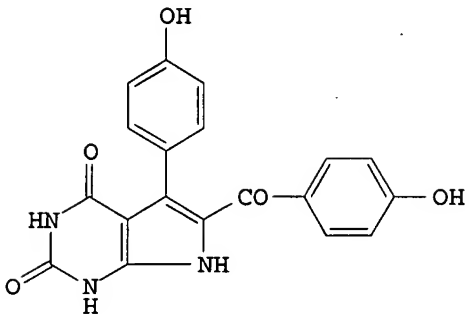
TITLE: Rigidin, a novel alkaloid with calmodulin antagonistic activity from the okinawan marine tunicate Eudistoma cf. rigida

AUTHOR(S): Kobayashi, Junichi; Cheng, Jie Fei; Kikuchi, Yumiko; Ishibashi, Masami; Yamamura, Shosuke; Ohizumi, Yasushi; Ohta, Tomihisa; Nozoe, Shigeo

CORPORATE SOURCE: Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan

10/ 075,073

SOURCE: Tetrahedron Letters (1990), 31(32), 4617-20
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



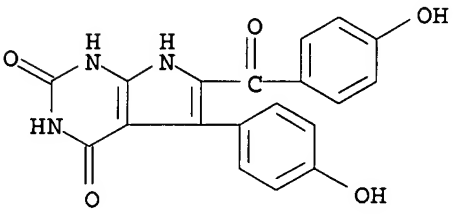
I

AB A novel pyrrolopyrimidine alkaloid, rigidin (I) with calmodulin antagonisitc activity was isolated from the Okinawan marine tunicate E. rigida. The structure was elucidated on the basis of spectral data of I and its pentamethyl deriv.

IT **132160-44-2**, Rigidine
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); **BIOL (Biological study)**; OCCU (Occurrence)
(of tunicate, isolation and mol. structure and calmodulin antagonistic activity of)

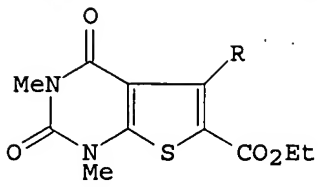
RN 132160-44-2 CAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione, 6-(4-hydroxybenzoyl)-5-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 75 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:514925 CAPLUS
DOCUMENT NUMBER: 113:114925
TITLE: Pyrimidines. 65. Synthesis of 6-substituted thieno[2,3-d]pyrimidine-2,4(1H,3H)-diones
AUTHOR(S): Hirota, Kosaku; Shirahashi, Mitsuomi; Senda, Shigeo; Yogo, Motoi
CORPORATE SOURCE: Gifu Pharm. Univ., Gifu, 502, Japan
SOURCE: Journal of Heterocyclic Chemistry (1990), 27(3), 717-21
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:114925
GI

10/ 075,073



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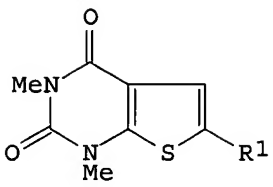


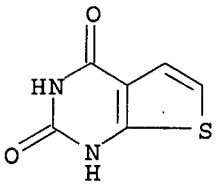
II

AB Thieno[2,3-d]pyrimidine-2,4-(1H,3H)-dione derivs. were synthesized. 6-Ethoxycarbonyl derivs. I (R = H, NH2) were prepd. by treatment of 6-chloro-5-formyluracil and 6-chloro-5-cyanouracil with Et 2-mercaptoacetate in the presence of a base. Electrophilic substitution reactions (Vilsmeier-Haack reaction, bromination, and nitration) of thieno[2,3-d]pyrimidine II (R1 = H), prepd. by condensation of 6-mercaptouracil with chloroacetaldehyde, afforded 6-formyl-, 6-bromo-, and 6-nitrothieno[2,3-d]pyrimidines II (R1 = CHO, Br, NO2), resp.

IT **18740-38-0DP**, Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and biol. activity of)

RN 18740-38-0 CAPLUS

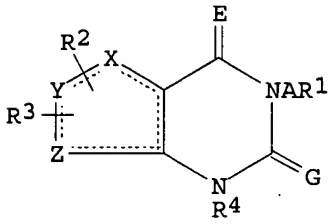
CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione (8CI, 9CI) (CA INDEX NAME)



L8 ANSWER 76 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:139045 CAPLUS
DOCUMENT NUMBER: 112:139045
TITLE: Preparation of thienopyrimidine-2,4-diones as allergy inhibitors
INVENTOR(S): Fukumi, Hiroshi; Sakamoto, Toshiaki; Sugiyama, Mitsuo; Yamaguchi, Takeshi
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01213284	A2	19890828	JP 1988-38871	19880222

PRIORITY APPLN. INFO.: JP 1988-38871 19880222
OTHER SOURCE(S): MARPAT 112:139045
GI



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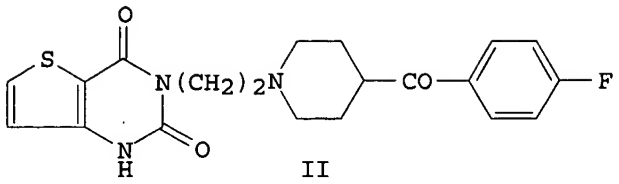


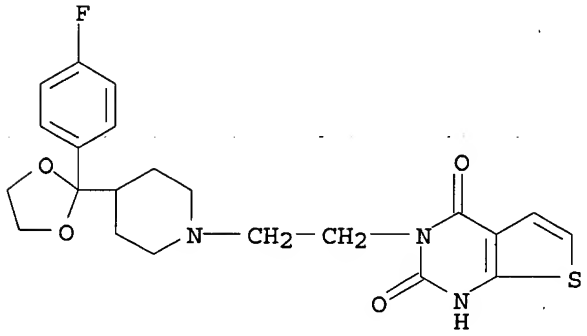
II

AB Title compds. I (one of X, Y, Z = S and other = C; E, G = O, S; R1 = substituted piperidino, substituted piperazino; R2, R3 = H, alkyl, aryl, halo; R4 = H, alkyl, acyl; A = alkylene) are prepd.. Treatment of 2,3-dihydro-5(5H)-oxazolo[3,2-a]thieno[3,2-d]pyrimidinone with 4-fluorobenzoylpiperidine in DMF gave thienopyrimidine II. The latter at 0.033 mg kg i.v. showed 84% inhibition of histamine-induced respiratory tract constriction in guinea pigs.

IT **125809-25-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of, as allergy inhibitor)

RN 125809-25-8 CAPLUS

CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-[2-[4-[2-(4-fluorophenyl)-1,3-dioxolan-2-yl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 77 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:497276 CAPLUS

DOCUMENT NUMBER: 111:97276

TITLE: Preparation of thienopyrimidine derivatives as aldose reductase inhibitors

INVENTOR(S): Ogawa, Kazuo; Yamawaki, Ichiro; Matsushita, Yoichi; Nomura, Naruo; Okazaki, Issei

PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

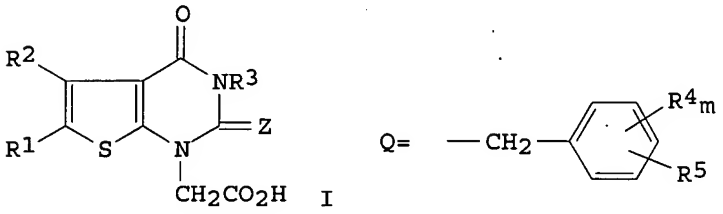
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8902432	A1	19890323	WO 1988-JP935	19880916
W: AU, JP, KR, US				

10/ 075,073

RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8823819	A1	19890417	AU 1988-23819	19880916
AU 599515	B2	19900719		
EP 335979	A1	19891011	EP 1988-908327	19880916
R: CH, DE, FR, GB, IT, LI, NL				
JP 2631888	B2	19970716	JP 1988-507472	19880916
US 4898867	A	19900206	US 1989-377862	19890504
PRIORITY APPLN. INFO.:			JP 1987-231425	19870916
			WO 1988-JP935	19880916

OTHER SOURCE(S): MARPAT 111:97276
GI

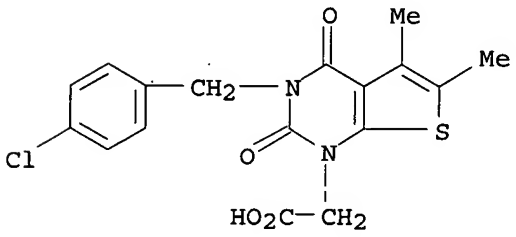


AB The title compds. (I; R1, R2 = H, halo, lower alkyl, cycloalkyl, Ph; or R1R2 = alkylene to form a ring; R3 = lower alkyl, Q; R4 = lower alkyl, lower alkoxy, halo; m = 0, 1, 2; R5 = H, halo; Z = O, S) were prepd. as aldose reductase inhibitors. A soln. of Et 2-ethoxycarbonylamino-4,5-dimethyl-3-thiophenecarboxylate with 4-ClC6H4CH2NH2 in EtOH and DMF was heated in a sealed tube at 230.degree. to give 3-(4-chlorobenzyl)-5,6-dimethylthieno[2,3-d]pyrimidine-2,4(1H,3H)-dione which was alkylated by BrCH2CO2Et in DMF contg. NaH to give, after sapon., I (R1 = R2 = Me, R3 = CH2C6H4Cl-p, Z = O). I in vitro inhibited aldose reductase with IC50's of 1.7-4.2 .times. 10-8M. Capsules (200 mg) were formulated from I (R1 = Cl, R2 = H, R3 = CH2C6H3FBr-2,4, Z = O) 50, lactose 50, corn starch 47, cryst. cellulose 50, talc 2, and Mg stearate 1 mg.

IT **122185-41-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of, as aldose reductase inhibitor)

RN 122185-41-5 CAPLUS

CN Thieno[2,3-d]pyrimidine-1(2H)-acetic acid, 3-[(4-chlorophenyl)methyl]-3,4-dihydro-5,6-dimethyl-2,4-dioxo- (9CI) (CA INDEX NAME)

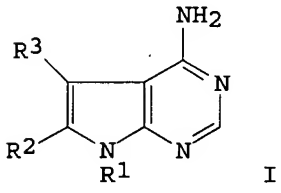


L8 ANSWER 78 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	1989:439290 CAPLUS
DOCUMENT NUMBER:	111:39290
TITLE:	Synthesis and biological activity of pyrrolo[2,3-d]pyrimidines
AUTHOR(S):	Dave, Chaitanya G.; Shah, P. R.; Upadhyaya, S. P.;

10/ 075,073

Gandhi, T. P.; Patel, R. B.
CORPORATE SOURCE: Dep. Chem., St. Xavier's Coll., Ahmedabad, 380 009, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1988), 27B(8), 778-80
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:39290
GI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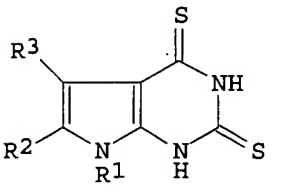
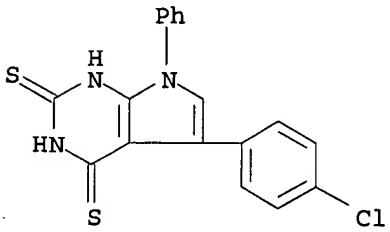

II

AB 2-Amno-3-pyrrolecarbonitriles were treated with HCONH2 to give aminopyrrolopyrimidines I [R1 = Ph, tolyl, anisyl, halophenyl; R2 = H, or R2R3 = (CH2)4; R3 = Ph, anisyl, ClC6H4, Me, tolyl]. Most I showed bactericidal, analgesic, antiinflammatory, antihistaminic, anticholinergic, anticonvulsant, and antihypertensive activity. Also prepd., from CS2, were pyrrolopyrimidines II.

IT **121405-46-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and bactericidal activity of)

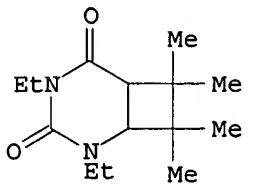
RN 121405-46-7 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dithione, 5-(4-chlorophenyl)-7-phenyl- (9CI) (CA INDEX NAME)



L8 ANSWER 79 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:33410 CAPLUS
DOCUMENT NUMBER: 110:33410
TITLE: Inhibition of proliferation and induction of differentiation of human myeloid leukemia cells by novel nucleoside analogs
AUTHOR(S): Honma, Y.; Ikuta, T.; Kasukabe, T.; Hozumi, M.; Itoh, T.; Ogura, H.
CORPORATE SOURCE: Dep. Chemotherapy, Saitama Cancer Cent. Res. Inst., Saitama, 362, Japan
SOURCE: Anticancer Research (1988), 8(4), 695-9
CODEN: ANTRD4; ISSN: 0250-7005
DOCUMENT TYPE: Journal

10/ 075,073

LANGUAGE: English
GI



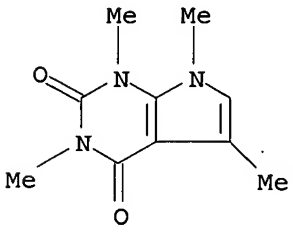
I

AB Purines such as hypoxanthine and 6-thioguanine have the capacity to induce the differentiation of human myeloid leukemia HL-60 cells in culture. The effects of nucleoside analogs on cell proliferation and differentiation of HL-60 cells were examd. On incubation with these compds., proliferation of HL-60 cells was inhibited and the cells were induced to differentiate into morphol. and functionally mature granulocytes. Among the compds. tested, 2,4-diethyl-7,7,8,8-tetramethyl-cis-2,4-diazabicyclol[4.2.0]octane-3,5-dione (I) was the most effective in inducing differentiation of HL-60 cells. This compd. was approx. 100 times more potent on a molar basis than hypoxanthine. The compds. reacted synergistically or additively with a typical antileukemic drug (daunomycin) or another potent differentiation inducer (retinoic acid).

IT **94154-87-7**
RL: **BIOL (Biological study)**
(myeloid leukemia cell differentiation and proliferation response to, of human)

RN 94154-87-7 CAPLUS

CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione, 1,3,5,7-tetramethyl- (9CI) (CA INDEX NAME)



L8 ANSWER 80 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:492940 CAPLUS
DOCUMENT NUMBER: 109:92940
TITLE: Thiophene systems. 9. Thienopyrimidinedione derivatives as potential antihypertensive agents
AUTHOR(S): Russell, Ronald K.; Press, Jeffery B.; Rampulla, Richard A.; McNally, James J.; Falotico, Robert; Keiser, Joan A.; Bright, David A.; Tobia, Alfonso
CORPORATE SOURCE: Res. Lab., Ortho Pharm. Corp., Raritan, NJ, 08869, USA
SOURCE: Journal of Medicinal Chemistry (1988), 31(9), 1786-93
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:92940
GI

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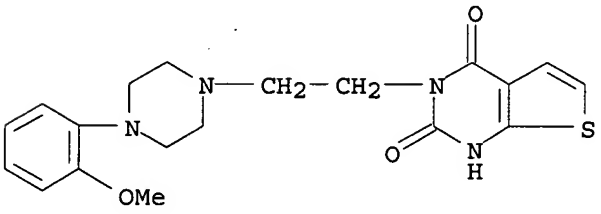
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A series of thieno[3,4-d]-, thieno[3,2-d]-, and thieno[2,3-d]pyrimidine-2,4-diones (e.g., I, II and III, resp.) with (phenylpiperazinyl)alkyl substitution at N(3) were prepd. and evaluated for antihypertensive effects in spontaneously hypertensive rats (SHR). Thus, chloroethylcarbamoylaminothiophenecarboxylate IV was treated with 4-(2-methoxyphenyl)piperazine hydrochloride, NaHCO3 and NaI in THF to give 67% the phenylpiperazinoethylurea V, which on treatment with KOH-MeOH gave 72% II. The 49 compds. prepd. were compared to the vasodilator stds. prazosin (VI) and the isosteric quinazoline-2,4-dione SGB 1534 (VII). An examn. of compds. substituted at the 2-, 3-, or 4-position of the Ph ring showed that those substituted at the 2-position were more potent than 4-substituted compds. while the isomeric 3-substituted compds. were least potent. Neither alkylation nor acylation at the N(1) position improved the antihypertensive effects. The three thienopyrimidine-2,4-diones I-III that contain a [(2-methoxyphenyl)piperazinyl]ethyl moiety at N(3) and hydrogen at N(1) were found to be potent oral antihypertensive agents in SHR with doses (mg/kg, po) for reducing systolic blood pressure (SBP) by 50 mmHg (ED50SBP) of 0.08, 0.19, and 1.0, resp. I-III and VI and VII were further evaluated for .alpha. blocking potency by measuring the i.v. doses necessary to antagonize the phenylephrine pressor response by 50% (ED50) in SHR. The ED50 values (.mu.g/kg) are 1.7, 2.1, 15.4, 10.4 and 3.3 resp. These results clearly show that all three thiophene systems have potent activity as antihypertensive agents and that I and II are more potent than VI or VII as .alpha.1-antagonists in vivo.

IT **110164-21-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and antihypertensive activity of)

RN 110164-21-1 CAPLUS

CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 81 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:437821 CAPLUS

DOCUMENT NUMBER: 109:37821

TITLE: Preparation of 4-[(bicyclic heterocyclyl)methyl]piperidines and analogs as antihistaminics

INVENTOR(S): Janssens, Frans E.; Kennis, Ludo E. J.; Hens, Jozef F.; Torremans, Joseph L. G.; Diels, Gaston S. M.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 571,135, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

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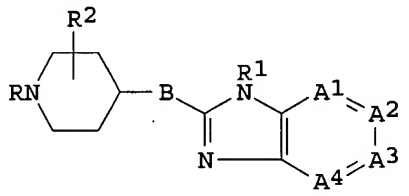
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4695575	A	19870922	US 1985-747754	19850624
ES 539281	A1	19870616	ES 1984-539281	19841231
AU 8537364	A1	19850912	AU 1985-37364	19850107
AU 573673	B2	19880616		
CA 1259609	A1	19890919	CA 1985-471589	19850107
FI 8500079	A	19850710	FI 1985-79	19850108
FI 83867	B	19910531		
FI 83867	C	19910910		
NO 8500085	A	19850710	NO 1985-85	19850108
NO 160849	B	19890227		
NO 160849	C	19890607		
DK 8500089	A	19850710	DK 1985-89	19850108
JP 60185777	A2	19850921	JP 1985-479	19850108
JP 07068240	B4	19950726		
HU 36471	A2	19850930	HU 1985-61	19850108
HU 200338	B	19900528		
ZA 8500187	A	19860827	ZA 1985-187	19850108
RO 90622	B3	19861210	RO 1985-117252	19850108
SU 1396964	A3	19880515	SU 1985-3836858	19850108
IL 74018	A1	19880831	IL 1985-74018	19850108
PL 145710	B1	19881031	PL 1985-251488	19850109
US 4839374	A	19890613	US 1987-94987	19870910

PRIORITY APPLN. INFO.: US 1984-569369 19840109
US 1984-671135 19841113
US 1985-747754 19850624

OTHER SOURCE(S): CASREACT 109:37821
GI



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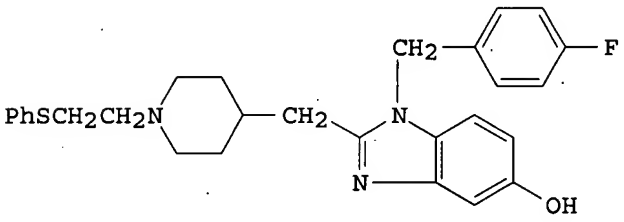

II

AB The title compds. [I; 3 of A1-A4 = (un)substituted CH, the 4th = N, (un)substituted CH; B = CH2, O, SO, SO2; R = substituted C1-6 alkyl, alkoxy, alkylthio, amino, pyrrolidinyl, piperidinyl, hexahydroazepinyl, etc.; R1 = H, alkyl, cycloalkyl, (un)substituted aryl, heteroaryl, (hetero)aralkyl; R2 = H, alkyl] and their stereoisomers and acid salts were prepd. as antihistaminics and serotonin antagonists. 1-[(4-Fluorophenyl)methyl]-2-(4-piperidinylmethyl)-1H-benzimidazol-5-ol and PhSCH2CH2Br were refluxed 2 h in Me2CHCH2COMe contg. Na2CO3 to give 27.8% benzimidazole deriv. (II). I inhibited compd. 48/80-induced lethality in rats, caused by histamine release, with ED50 of 0.005-0.16

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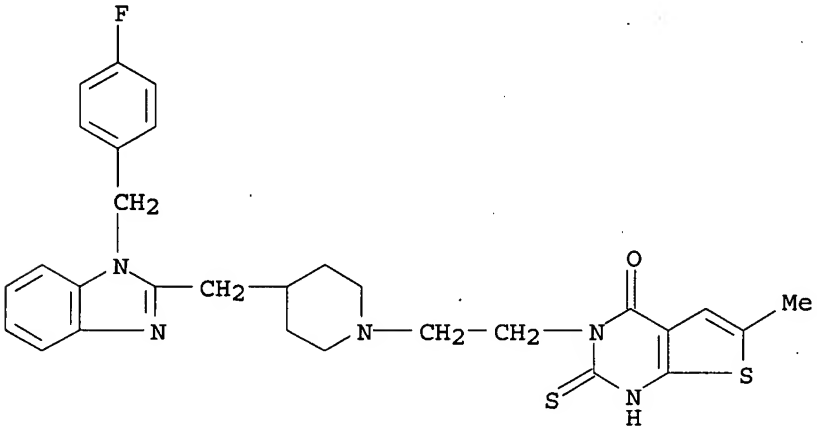
mg/kg s.c. or orally. I also inhibited gastric lesions caused by simultaneous release of serotonin.

IT **100016-05-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of, as antihistaminic)

RN 100016-05-5 CAPLUS

CN Thieno[2,3-d]pyrimidin-4(1H)-one, 3-[2-[4-[[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-2,3-dihydro-6-methyl-2-thioxo-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L8 ANSWER 82 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1986:68856 CAPLUS
DOCUMENT NUMBER: 104:68856
TITLE: Bicyclic heterocyclyl containing N-(bicyclic heterocyclyl)-4-piperidinamines
INVENTOR(S): Janssens, Frans Eduard; Torremans, Joseph Leo Ghislanus; Hens, Jozef Francis; Van Offenwert, Theophilus Theresia J. M.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Eur. Pat. Appl., 106 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 144101	A2	19850612	EP 1984-201611	19841107
EP 144101	A3	19850724		
EP 144101	B1	19910206		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4695569	A	19870922	US 1984-660608	19841012
AT 60769	E	19910215	AT 1984-201611	19841107
SU 1500162	A3	19890807	SU 1984-3814401	19841123
CA 1257258	A1	19890711	CA 1984-468587	19841126
CZ 281114	B6	19960612	CZ 1984-9128	19841128
SK 278443	B6	19970507	SK 1984-9128	19841128

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DK 8405678	A	19850531	DK 1984-5678	19841129	
FI 8404708	A	19850531	FI 1984-4708	19841129	
FI 80446	B	19900228			
FI 80446	C	19900611			
NO 8404755	A	19850531	NO 1984-4755	19841129	
NO 164171	B	19900528			
NO 164171	C	19900905			
AU 8436028	A1	19850606	AU 1984-36028	19841129	
AU 579121	B2	19881117			
JP 60149583	A2	19850807	JP 1984-250660	19841129	
JP 06092389	B4	19941116			
ZA 8409331	A	19860730	ZA 1984-9331	19841129	
IL 73686	A1	19880531	IL 1984-73686	19841129	
PL 146377	B1	19890131	PL 1984-250633	19841129	
HU 35677	O	19850729	HU 1984-4444	19841130	
HU 199837	B	19900328			
RO 90414	B3	19861210	RO 1984-116474	19841130	
US 4888426	A	19891219	US 1987-56200	19870601	
SU 1694064	A3	19911123	SU 1987-4203318	19870917	
CA 1330081	A1	19940607	CA 1988-564954	19880422	
FI 8804037	A	19880901	FI 1988-4037	19880901	
FI 84070	B	19910628			
FI 84070	C	19911010			
US 5025014	A	19910618	US 1989-447312	19891207	
US 5126339	A	19920630	US 1991-671338	19910319	

PRIORITY APPLN. INFO.:

US 1983-556742	19831130
US 1984-660608	19841012
EP 1984-201611	19841107
CA 1984-468587	19841126
FI 1984-4708	19841129
US 1987-56200	19870601
US 1989-447312	19891207

OTHER SOURCE(S): CASREACT 104:68856

GI For diagram(s), see printed CA Issue.

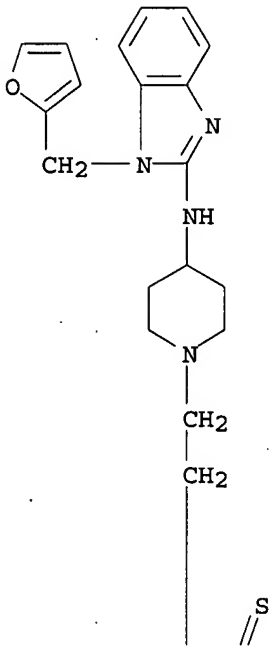
AB The title compds. [I; R = H, cycloalkyl, pyridinyl, pyrazinyl, alkyl-(un)substituted furanyl, thiazolyl, imidazolyl, halo-(un)substituted thienyl, (un)substituted alkyl, Ph; R1 = H, alkyl, cycloalkyl, alkanoyl, alkoxycarbonyl, (un)substituted phenylalkyl; R2 = H, alkyl; R3 = alkyl, pyrrolidinyl, piperidinyl, homopiperonyl, each substituted by a group contg. a bicyclic heterocyclic moiety; X = atoms required to complete an (un)substituted C6H6 or pyridine ring] (>150 in all) were prepd. Thus, 1-[(4-fluorophenyl)methyl]-N-(4-piperidinyl)-1H-benzimidazol-2-amine was alkylated by heating at 70.degree. with 6-(2-bromoethyl)-3,7-dimethyl-5H-thiazolo[3,2-a]pyrimidin-5-one-HBr in DMF contg. Na2CO3 to give 62.8% II. II had antihistaminic activity in rats, counteracting the lethality of compd. 48/80 with an ED50 of 0.31 mg/kg s.c. or orally, and inhibiting gastric lesions caused by the same agent with an ED50 of 0.63 mg/kg orally.

IT **99157-97-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and antihistaminic activity of)

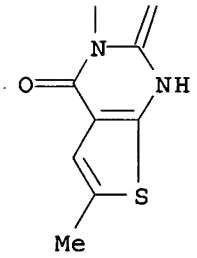
RN 99157-97-8 CAPLUS

CN Thieno[2,3-d]pyrimidin-4(1H)-one, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2,3-dihydro-6-methyl-2-thioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L8 ANSWER 83 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1984:170571 CAPLUS
DOCUMENT NUMBER: 100:170571
TITLE: Substrate and inhibitor specificity of tRNA-guanine ribosyltransferase
AUTHOR(S): Farkas, Walter R.; Jacobson, K. Bruce; Katze, Jon R.
CORPORATE SOURCE: Cent. Health Sci., Univ. Tennessee, Knoxville, TN, 37920, USA
SOURCE: Biochimica et Biophysica Acta (1984), 781(1-2), 64-75
CODEN: BBACAQ; ISSN: 0006-3002
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A no. of compds., including derivs. of 7-deazaguanine, pteridines, purines, pyrimidines, and antimalarials were tested as inhibitors or substrates of tRNA-guanine ribosyltransferase (EC 2.4.2.29) (I). Virtually all purines and pteridines that were inhibitors or substrates of rabbit reticulocyte I had an amino N atom at the 2-position. In addn., the 9-position and the O atom at the 6-position may be important for recognition by the enzyme. Satn. of the double bond in the

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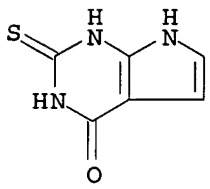
cyclopentenediol moiety of queuine (II) reduced the substrate activity and II analogs that lacked the cyclopentenediol moiety, such as 7-deazaguanine and 7-aminomethyl-7-deazaguanine, were relatively poor substrates for I. Adenosine was not an inhibitor of I and neoplanocin A (an adenosine analog in which a cyclopentenediol replaced the ribose moiety) was a poor inhibitor. The incorporation of 7-aminomethyl-7-deazaguanine into the tRNA of L-M cells resulted in a novel chromatog. form of tRNAAsp, indicating that L-M cells cannot modify this queuosone precursor (in Escherichia coli) to queuosine. The specific incorporation of 7-deazaguanine and 8-azaguanine into tRNA by L-M cells also resulted in novel chromatog. forms of tRNAAsp. With intact L-M cells, I-catalyzed insertion into tRNA of II, dihydro-II, 7-aminomethyl-7-deazaguanine, or 7-deazaguanine was irreversible, whereas guanine or 8-azaguanine incorporation was reversible, suggesting that it is the substitution of C-7 for N-7 which prevents the reversible incorporation of II into tRNA.

IT **67831-84-9**
RL: **BIOL (Biological study)**
(tRNA-guanine ribosyltransferase inhibition by, structure in relation to)

RN 67831-84-9 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 1,2,3,7-tetrahydro-2-thioxo- (9CI) (CA INDEX NAME)



L8 ANSWER 84 OF 90 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:554371 CAPLUS

DOCUMENT NUMBER: 99:154371

TITLE: Methylated 7-deazahypoxanthines as regiochemical probes of xanthine oxidase

AUTHOR(S): Rosemeyer, Helmut; Seela, Frank

CORPORATE SOURCE: Dep. Chem., Univ. Paderborn, Paderborn, D-4790, Fed. Rep. Ger.

SOURCE: European Journal of Biochemistry (1983), 134(3), 513-15
CODEN: EJBCAI; ISSN: 0014-2956

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 7-Deazahypoxanthine was oxidized by bovine milk xanthine oxidase exclusively at C-2. The resulting 7-deazaxanthine was a strong inhibitor of the enzymic reaction. This offered a possibility for detg. the structural requirements of ligand binding sep. for the 1st step. All of the monomethyl isomers of 7-deazahypoxanthine were tested as probes by measuring their Km, Ki, and Vmax values. Whereas the N-3-Me and C-7-Me isomers were still processed, the N-9-Me and 6-O-Me isomers were bound as inhibitors to the active site. The N-1-Me compd. was neither an inhibitor nor a substrate. This demonstrated that HN(1) and O:C(6) are essential for the binding. Replacement of O:C(6) by S:C(6) changed the substrate into a strong inhibitor (Ki = 9 .mu.M), implying that the electron transfer to the enzyme was hindered. Methylation of the thioxo group (S:)reduced the inhibition significantly. In contrast to 7-deazahypoxanthine, 2-thioxo-7-deazaxanthine was an activator at concns. <87 .mu.M and a partial competitive inhibitor above this concn., which implied the presence of a 2nd binding site.

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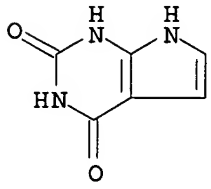
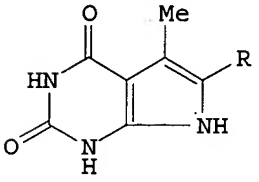
IT **39929-79-8**
RL: **BIOL (Biological study)**
(xanthine oxidase specificity for, structure in relation to)
RN 39929-79-8 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione (9CI) (CA INDEX NAME)



L8 ANSWER 85 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:155147 CAPLUS
DOCUMENT NUMBER: 96:155147
TITLE: The antitumor and mammalian xanthine oxidase inhibitory activity of 5-methyl-6-substituted pyrrolo(2,3-d)pyrimidine-2,4-diones
AUTHOR(S): Betlach, Charles J.; Sowell, J. Walter, Sr.
CORPORATE SOURCE: Coll. Pharm., Univ. South Carolina, Columbia, SC, 29208, USA
SOURCE: Journal of Pharmaceutical Sciences (1982), 71(2), 269-70
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Six pyrrolo[2,3-d]pyrimidine-2,4-diones I (R = Me, Et, Ph, etc.) were tested in vitro as inhibitors of xanthine oxidase [9002-17-9] and compared with allopurinol (II). Only 2 of the compds. tested showed inhibition. I (R = Ph) [72211-16-6] had the most activity but it was low compared to II. When the antitumor activity of I (R = Me) [72185-72-9] was tested in vivo against 2 transplantable mouse lymphoid tumor systems the compd. appeared to be toxic.

IT **72185-72-9**
RL: **BIOL (Biological study)**; BSU (Biological study, unclassified); **BIOL (Biological study)**
(antitumor and xanthine oxidase inhibiting activity of)
RN 72185-72-9 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione, 5,6-dimethyl- (9CI) (CA INDEX NAME)

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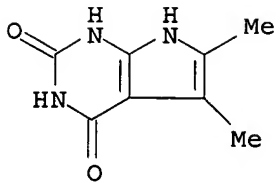
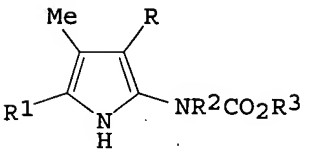
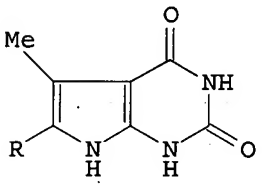


L8 ANSWER 86 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:418957 CAPLUS
DOCUMENT NUMBER: 93:18957
TITLE: Anticonvulsant properties of selected pyrrolo[2,3-d]pyrimidine-2,4-diones and intermediates
AUTHOR(S): Powers, Debra L.; Sowell, J. Walter; Freeman, J. J.; Kosh, J. W.
CORPORATE SOURCE: Coll. Pharm., Univ. South Carolina, Columbia, SC, 29208, USA
SOURCE: Journal of Pharmaceutical Sciences (1980), 69(4), 473-5
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



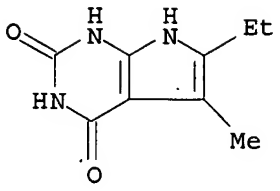
II

AB Fifteen title compds. I (R = CN or CONH2, R1 = Me, Et, CH2Ph, etc.; R2 = H or Me; R3 = Et, CH2CH2Cl, CH2CCl3) and II (R = Et, or CH2PH) were tested for anticonvulsant activity in mice. Eleven of the 15 compds. possessed anticonvulsant activity against pentylenetetrazol-induced convulsions. I; R = Et, R1 = H, R2 = Me [72185-60-5] gave more anticonvulsant protection against pentylenetetrazol than did trimethadione (67 and 50%, resp.). A suspension of this compd. was as effective as a soln. in producing anticonvulsant activity. Apparently, the nitrile analogs were more potent compared to the carbamyl analog due to higher lipid soly.

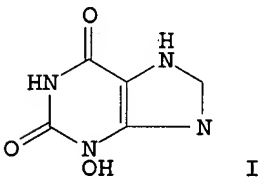
IT **72185-73-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(anticonvulsant activity of)

RN 72185-73-0 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione, 6-ethyl-5-methyl- (9CI) (CA INDEX NAME)

10/ 075,073



L8 ANSWER 87 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:552478 CAPLUS
DOCUMENT NUMBER: 91:152478
TITLE: Chemical reactivities and oncogenicities of a series of N-hydroxyheterocycles
AUTHOR(S): Lee, Tzoong-Chyh; Teller, Morris N.; Budinger, John M.; Kloetzer, Wilhelm; Brown, George Bosworth
CORPORATE SOURCE: Memorial Sloan-Kettering Cancer Cent., New York, NY, 10021, USA
SOURCE: Chemico-Biological Interactions (1979), 25(2-3), 369-72
CODEN: CBINA8; ISSN: 0009-2797
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



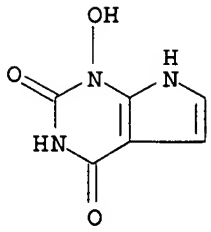
I

AB 3-Hydroxyxantrine (I) [13479-29-3] and its ring analogs were examd. to det. the structural features of the ring system required for SN1' type reactivity (conversion of I to a reactive ester, followed by elimination-substitution with various nucleophiles, under physiol. conditions, to give 8-substituted xanthines), and to assess the pertinence of that reactivity. 3-Hydroxy-2-oxopurine [54643-52-6] and 1-hydroxy-2,4-dioxopyrrolopyrimidine [52133-54-7] underwent SN1' reactions readily under mild conditions. Oncogenicity assays showed that the latter is not oncogenic and the former is a weak one. The weak oncogenic activity may be due to its susceptibility to xanthine oxidase. The failure of pyrrolopyrimidine analog to induce any tumor may attributable to its extreme reactivity.

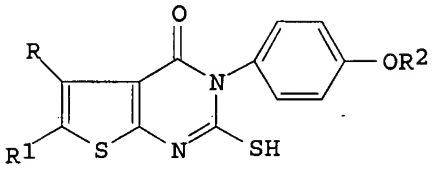
IT **52133-54-7**
RL: ADV (Adverse effect, including toxicity); **BIOL (Biological study)**
(carcinogenicity of, chem. reactivity in relation to)

RN 52133-54-7 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione, 1-hydroxy- (9CI) (CA INDEX NAME)

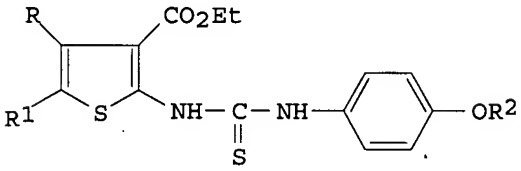
10/ 075,073



L8 ANSWER 88 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1978:74367 CAPLUS
DOCUMENT NUMBER: 88:74367
TITLE: Synthesis of 2-mercaptothieno[2,3-d]pyrimidin-4(3H)-ones
AUTHOR(S): Devani, M. B.; Shishoo, C. J.; Pathak, U. S.; Sharma, B. G.; Gokhale, S. V.; Padhya, A. C.
CORPORATE SOURCE: Dep. Pharm. Chem., Lallubhai Motilial Coll. Pharm., Ahmedabad, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1977), 15B(6), 575-7
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



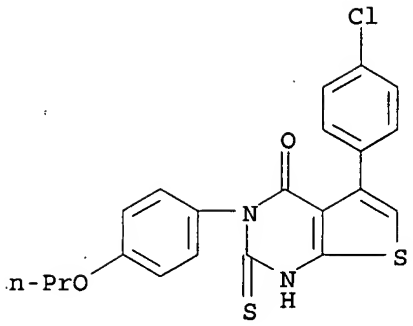
II

AB 2-Mercaptothieno[2,3-d]pyrimidine-4(3H)-ones (I) (R = Ph, 4-ClC6H4, 4-MeC6H4; R1 = H, Me, RR1 = (CH2)2; R2 = alkyl) have been synthesized by cyclizing the corresponding thioureas II in acidic medium. The thioureas prepd. are thiophene isosteres of known antitubercular drugs. All the compds. synthesized have been screened for antimicrobial activity.

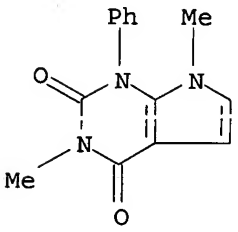
IT **65233-98-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and bactericidal activity of)

RN 65233-98-9 CAPLUS
CN Thieno[2,3-d]pyrimidin-4(1H)-one, 5-(4-chlorophenyl)-2,3-dihydro-3-(4-propoxyphenyl)-2-thioxo- (9CI) (CA INDEX NAME)

10/ 075,073



L8 ANSWER 89 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:38667 CAPLUS
DOCUMENT NUMBER: 82:38667
TITLE: Pyrimidine derivatives and related compounds. XXII. Synthesis and pharmacological properties of 7-deazaxanthine derivatives
AUTHOR(S): Senda, Shigeo; Hirota, Kosaku
CORPORATE SOURCE: Gifu Coll. Pharm., Gifu, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1974), 22(7), 1459-67
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB For investigation of the structure-activity relations of xanthine derivs., 2,4-dioxo-1,2,3,4-tetrahydropyrrolo[2,3-d]pyrimidines (I) (7-deazaxanthine derivs.) were prepd. from the corresponding 6-aminouracils and chloroacetaldehyde [107-20-0], and then were catalytically reduced to give 2,4-dioxo-1,2,3,4,5,6-hexahydropropyrrolo[2,3-d]pyrimidines (II). A new method for synthesis of I was found by heating 6-hydrazinouracil derivs. with aldehydes or ketones. Diuretic, cardiac, and central nervous system stimulating activities of I and II in lab. animals were similar to those of caffeine [58-08-2].
IT **39929-60-7P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); PROC (Process); USES (Uses)
(prepn. and pharmacol of)
RN 39929-60-7 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione, 3,7-dimethyl-1-phenyl- (9CI) (CA INDEX NAME)



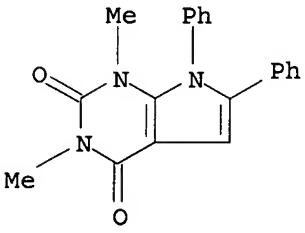
L8 ANSWER 90 OF 90 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:10295 CAPLUS
DOCUMENT NUMBER: 80:10295

10/ 075,073

TITLE: Platelet aggregation inhibitors. V. Pyrimidine derivatives, indole derivatives, benzothiophenes, and benzoquinolizine derivative
AUTHOR(S): Kikugawa, Kiyomi; Ichino, Motonobu
CORPORATE SOURCE: Tokyo Res. Lab., Kohjin Co., Ltd., Tokyo, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1973), 21(5), 1151-5
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Of 6 classes of compds. tested as inhibitors of rabbit platelet aggregation induced by ADP [58-64-0] and collagen, only indole derivs., benzo[b]thiophenes, and benzoquinolizines were effective inhibitors. Among the indole derivs., N-benzyl-3-[2,2-bis(methylthio)-1-cyanoethenyl]indole-1-thiocarboxamide [31486-75-6] and 1-acetyl-3-hydroxy-1-(2-cyano-2-methoxycarbonyl-1-methylthioethenyl)indole [42789-16-2] were as effective as adenosine [58-61-7] against collagen-induced platelet aggregation, and 1-(4-morpholinylthiocarbonyl)-3-[2,2-bis(methylthio)-1-cyanoethenyl]indole [31486-76-7] and 5-methoxy-2-phenyl-1-(2-pyrrolidinoethyl)indole (I) [42789-18-4] were active against both ADP- and collagen-induced platelet aggregation; the latter compd. was the most effective inhibitor of the indole derivs. tested. Benzo[b]thiophene derivs. had strong inhibitory activity, except for 2,3-dihydro-3-hydroxy-3-(2-hydroxyethylamino)-2-oxobenzo[b]thiophene [42789-19-5], against both ADP- and collagen-induced platelet aggregation. 3-Cyano-2-methylthio-4-oxo-6,7-dihydrobenzo[a]quinolizine [37040-93-0] was also effective as an inhibitor of ADP- and collagen-induced platelet aggregation.

IT **745-35-7**
RL: **BIOL (Biological study)**
(blood platelet aggregation in response to)
RN 745-35-7 CAPLUS
CN 1H-Pyrrolo[2,3-d]pyrimidine-2,4(3H,7H)-dione, 1,3-dimethyl-6,7-diphenyl- (7CI, 9CI) (CA INDEX NAME)



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FILE 'REGISTRY' ENTERED AT 10:39:37 ON 07 JUN 2003
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L2 STRUCTURE UPLOADED
L3 39245 S L1 FUL
L4 2845 S L2 FUL

FILE 'CAPLUS' ENTERED AT 10:42:59 ON 07 JUN 2003
L5 55566 S L3
L6 306 S L4
L7 34683 S L3/BIOL
L8 90 S L4/BIOL

10/ 075,073

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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